10597298

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NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	DEC 01	ChemPort single article sales feature unavailable
NEWS	3	JUN 01	CAS REGISTRY Source of Registration (SR) searching enhanced on STN
NEWS	4	JUN 26	NUTRACEUT and PHARMAML no longer updated
NEWS	5	JUN 29	IMSCOPROFILE now reloaded monthly
NEWS	6	JUN 29	EPFULL adds Simultaneous Left and Right Truncation (SLART) to AB, MCLM, and TI fields
NEWS	7	JUL 09	PATDPAFULL adds Simultaneous Left and Right Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS	8	JUL 14	USGENE enhances coverage of patent sequence location (PSL) data
NEWS	9	JUL 27	CA/CAplus enhanced with new citing references
NEWS	10	JUL 16	GBFULL adds patent backfile data to 1855
NEWS	11	JUL 21	USGENE adds bibliographic and sequence information
NEWS	12	JUL 28	EPFULL adds first-page images and applicant-cited references
NEWS	13	JUL 28	INPADOCDB and INPAFAMDB add Russian legal status data
NEWS	14	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS	15	AUG 17	CAS REGISTRY, the Global Standard for Chemical Research, Approaches 50 Millionth Registration Milestone
NEWS	16	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS	17	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS	18	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents

NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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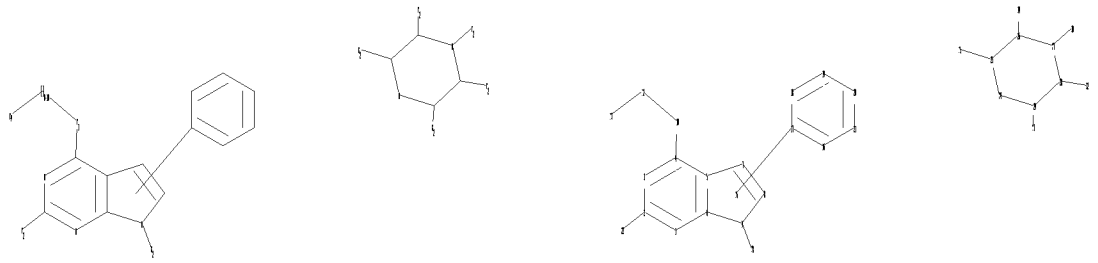

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ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21 24 25 26 27 28 29
chain bonds :
2-22 4-10 9-38 10-12 12-13 25-35 26-34 27-30 28-32 29-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 16-17 16-21 17-18 18-19 19-20
20-21 24-29 24-25 25-26 26-27 27-28 28-29
exact/norm bonds :
2-22 4-10 6-9 8-9 9-38 10-12 12-13 24-29 24-25 25-26 25-35 26-27 26-34
27-28 27-30 28-29 28-32 29-33
exact bonds :
5-7 7-8
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 16 : 24 :

G1:O,N

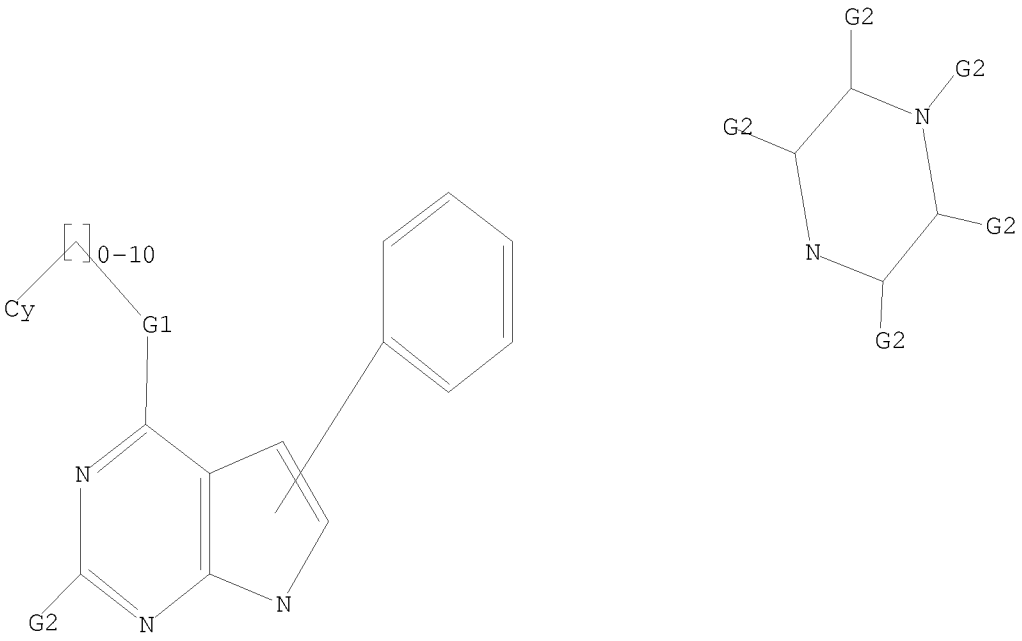
G2:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
12:CLASS 13:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:Atom 38:CLASS

L4 STRUCTURE UPLOADED

=> d
L4 HAS NO ANSWERS

L4 STR



G1 O,N

G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      210 TO ITERATE

100.0% PROCESSED      210 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3331 TO     5069
PROJECTED ANSWERS:               1 TO       80

L5            1 SEA SSS SAM L4

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L1- RANGE NOT VALID
L-number ranges must be in increasing order.

=> del l1-
DELETE L1-L5? (Y)/N:y

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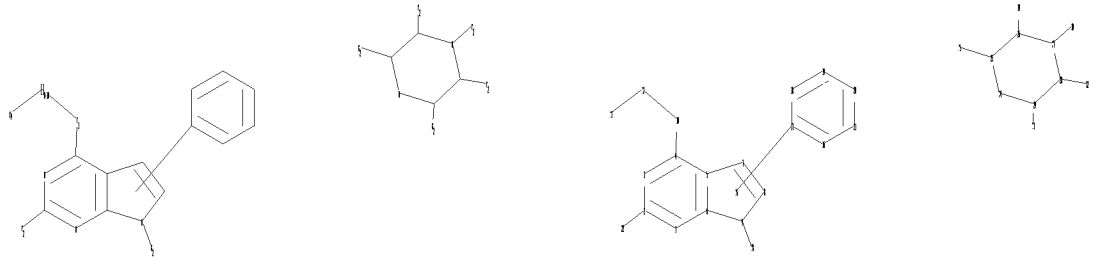

chain nodes :
10 12 13 22 30 32 33 34 35 38
ring nodes :
1 2 3 4 5 6 7 8 9 16 17 18 19 20 21 24 25 26 27 28 29
chain bonds :
2-22 4-10 9-38 10-12 12-13 25-35 26-34 27-30 28-32 29-33
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 8-9 16-17 16-21 17-18 18-19 19-20
20-21 24-29 24-25 25-26 26-27 27-28 28-29
exact/norm bonds :
2-22 4-10 6-9 8-9 9-38 10-12 12-13 24-29 24-25 25-26 25-35 26-27 26-34
27-28 27-30 28-29 28-32 29-33
exact bonds :
5-7 7-8
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 16-17 16-21 17-18 18-19 19-20 20-21
isolated ring systems :
containing 1 : 16 : 24 :

G1:O,N

G2:H,CH3

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS
12:CLASS 13:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:CLASS
24:Atom 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:CLASS 32:CLASS 33:CLASS
34:CLASS 35:CLASS 36:Atom 38:CLASS

L1 STRUCTURE UPLOADED

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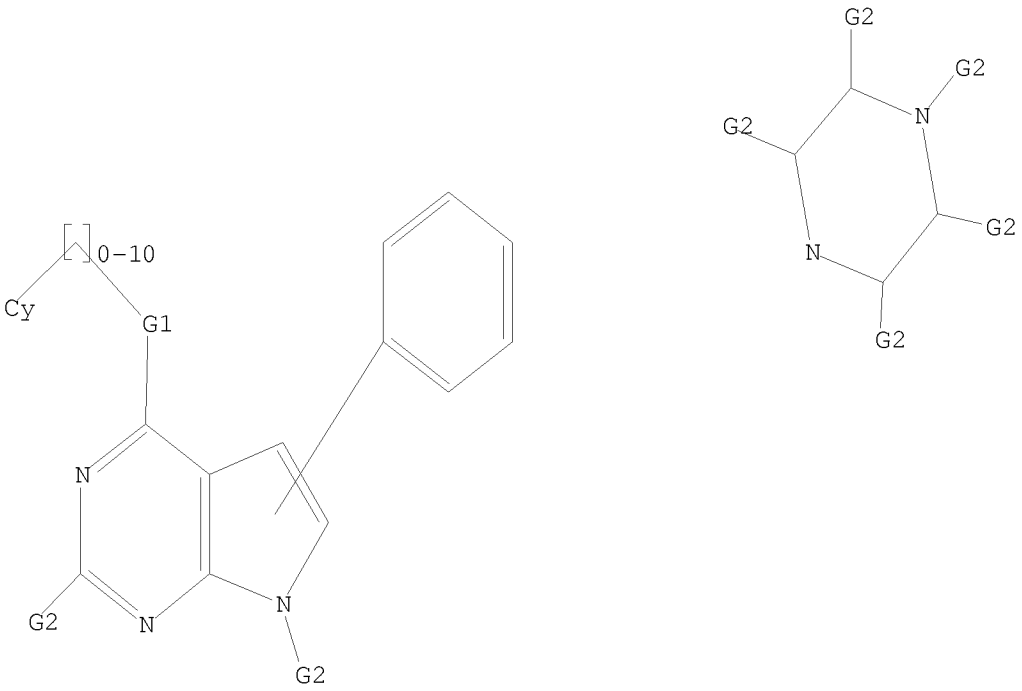
L1 HAS NO ANSWERS
L1 STR



G1 O,N

G2 H,Me

Structure attributes must be viewed using STN Express query preparation.

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=> s l1 sam
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SAMPLE SCREEN SEARCH COMPLETED -      210 TO ITERATE

100.0% PROCESSED      210 ITERATIONS                                0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         3331 TO     5069
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 ful
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 185.40 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 17:16:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     4572 TO ITERATE

100.0% PROCESSED     4572 ITERATIONS                               41 ANSWERS
SEARCH TIME: 00.00.01
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L3 41 SEA SSS FUL L1

=> fil capl
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 376.08 376.30

FILE 'CAPLUS' ENTERED AT 17:16:35 ON 24 AUG 2009
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FILE COVERS 1907 - 24 Aug 2009 VOL 151 ISS 9
FILE LAST UPDATED: 23 Aug 2009 (20090823/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

CAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

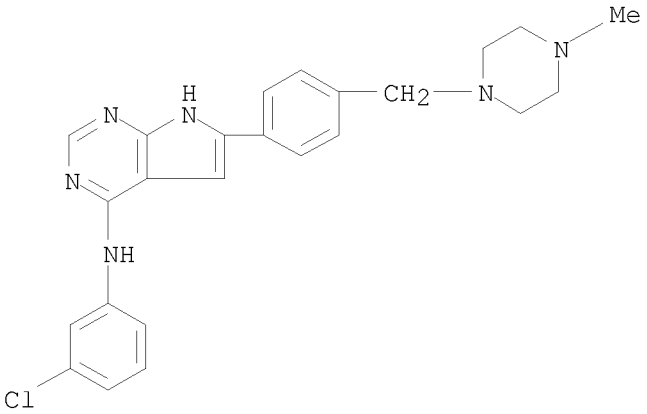
This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAplus family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 9.

=> s l3
L4 9 L3

=> d l4 ibib abs hitstr 1-9

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:137281 CAPLUS
DOCUMENT NUMBER: 150:389043
TITLE: A small molecule inhibitor of α4 integrin-dependent cell migration
AUTHOR(S): Lee, Jongkook; Hong, Jiyong; Nam, Tae-Gyu; Peters, Eric C.; Orth, Anthony P.; Geierstanger, Bernhard H.; Goldfinger, Lawrence E.; Ginsberg, Mark H.; Cho, Charles Y.; Schultz, Peter G.
CORPORATE SOURCE: Department of Chemistry and the Skaggs Institute for Chemical Biology, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Bioorganic & Medicinal Chemistry (2009), 17(3), 977-980
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:389043
AB A small mol. inhibitor of α4 integrin-dependent cell migration was identified through a cell-based screen of small mol. libraries. Biochem. and cellular expts. suggest that this mol. functions by interacting with γ-parvin. This mol. should serve as a useful tool to study α4 integrin signaling and may lead to new therapeutics for the treatment of autoimmune diseases.
IT 792902-82-0
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(small mol. inhibitor of α4 integrin-dependent cell migration)
RN 792902-82-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:353001 CAPLUS
DOCUMENT NUMBER: 148:355828
TITLE: Multi-functional small molecules as anti-proliferative agents and their preparation
INVENTOR(S): Cai, Xiong; Qian, Changgeng; Gould, Stephen; Zhai, Haixiao
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 494pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008033747	A2	20080320	WO 2007-US77971	20070910
WO 2008033747	A9	20080724		
WO 2008033747	A3	20081204		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007296744	A1	20080320	AU 2007-296744	20070910
CA 2662937	A1	20080320	CA 2007-2662937	20070910
US 20080221132	A1	20080911	US 2007-852458	20070910
EP 2061772	A2	20090527	EP 2007-842112	20070910
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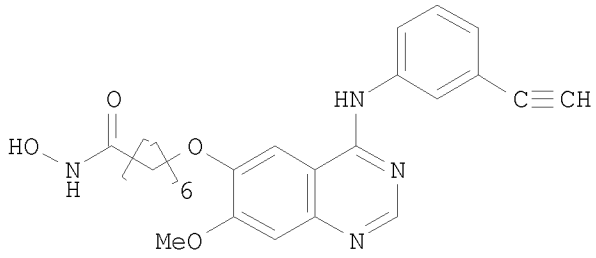
KR 2009077914	A	20090716	KR 2009-707573	20070910
IN 2009DN02146	A	20090731	IN 2009-DN2146	20090331

PRIORITY APPLN. INFO.:
US 2006-843590P P 20060911
US 2007-895889P P 20070320
WO 2007-US77971 W 20070910

OTHER SOURCE(S): MARPAT 148:355828
GI



A—B—C I II

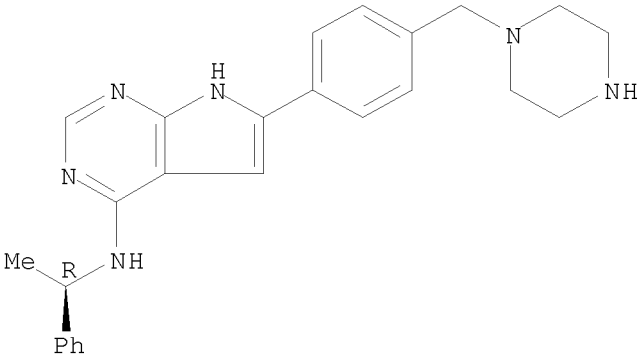
AB The invention relates to the compns., methods, and applications of an approach to selective inhibition of several cellular or mol. targets with a single small mol. More specifically, the present invention relates to multi-functional small mols. of formula I wherein one functionality is capable of inhibiting histone deacetylases (HDAC) and the other functionality is capable of inhibiting a different cellular or mol. pathway involved in aberrant cell proliferation, differentiation or survival. Compds. of formula I wherein A is a pharmacophore of an anticancer agent capable of inhibiting at least one cellular or mol. pathway involved in the aberrant cell proliferation, differentiation or survival; B is a linker; C is a zinc-binding moiety; and their geometrical isomers, enantiomers, diastereoisomers, racemates, pharmaceutically acceptable salts, prodrugs and solvates thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their antiproliferative activity (some data given).

IT 803706-07-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of multi-functional small mols. as antiproliferative agents)

RN 803706-07-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:351928 CAPLUS
DOCUMENT NUMBER: 148:355814
TITLE: Preparation of (aralkylamino)(phenyl)pyrrolo[2,3-d]pyrimidine derivatives for use as protein tyrosine kinase (PTK) inhibitors
INVENTOR(S): Cai, Xiong; Qian, Changgeng; Gould, Stephen
PATENT ASSIGNEE(S): Curis, Inc., USA
SOURCE: PCT Int. Appl., 123pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008033745	A2	20080320	WO 2007-US77968	20070910
WO 2008033745	A3	20090108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
US 20080161320	A1	20080703	US 2007-852440	20070910
PRIORITY APPLN. INFO.:			US 2006-843646P P	20060911
			US 2007-895894P P	20070320

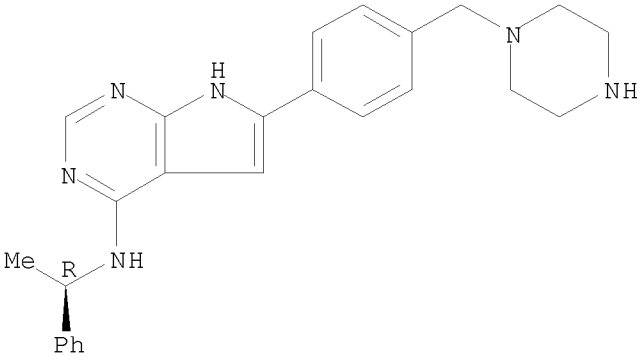
OTHER SOURCE(S): MARPAT 148:355814
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Fused bicyclic pyrimidine derivs. I and II [Ar = aryl, substituted arylheteroaryl or heteroaryl; Q = absent or (un)substituted alkyl; X = O, S, NH, or alkylamino; Z = O, S, NR1; Y = N or CR2; B = linker; D = C(O)NH2, NHC(S)CH3, CHC(O)NHacyl, etc.; R1 = H or (un)substituted alkyl; R2 = H, halo, (un)substituted aliphatic, aryl or heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as protein tyrosine kinase (PTK) inhibitors. Thus, e.g., III was prepared by N-alkylation of 1,4-dioxa-8-azaspiro[4.5]decane with 6-(4-(chloromethyl)phenyl)-N-((R)-1-phenylethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-amine (preparation given) and deprotection followed by condensation with 6-aminohexanoic acid Me ester and amidation with hydroxylamine. Select I were evaluated in EGFR assays, e.g., III demonstrated an IC50 value of ≤0.1 (μM).
IT 803706-07-2P, N-((R)-1-Phenylethyl)-6-[4-((piperazin-1-

yl)methyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aralkylamino)(phenyl)pyrrolopyrimidine derivs. for use as protein tyrosine kinase (PTK) inhibitors)
RN 803706-07-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:902897 CAPLUS
DOCUMENT NUMBER: 143:248404
TITLE: Preparation of 7H-pyrrolopyrimidine derivatives for the treating a disease which responds to an inhibition of a protein tyrosine kinase
INVENTOR(S): Caravatti, Giorgio; Vaupel, Andrea
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077951	A2	20050825	WO 2005-EP1635	20050217
WO 2005077951	A3	20060302		
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AU 2005212832	A1	20050825	AU 2005-212832	20050217
CA 2553889	A1	20050825	CA 2005-2553889	20050217
EP 1718651	A2	20061108	EP 2005-715376	20050217
EP 1718651	B1	20090422		
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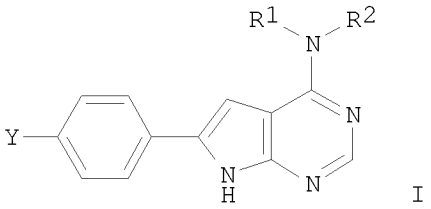
CN 1922184	A	20070228	CN 2005-80005426	20050217
BR 2005007811	A	20070710	BR 2005-7811	20050217
JP 2007523115	T	20070816	JP 2006-553534	20050217
AT 429435	T	20090515	AT 2005-715376	20050217
ES 2322814	T3	20090629	ES 2005-715376	20050217
MX 2006009395	A	20061017	MX 2006-9395	20060817
KR 2006124705	A	20061205	KR 2006-716567	20060817
IN 2006CN03010	A	20070608	IN 2006-CN3010	20060817
US 20070135460	A1	20070614	US 2006-598070	20060817
PRIORITY APPLN. INFO.:			GB 2004-3606 A	20040218
			WO 2005-EP1635 W	20050217

OTHER SOURCE(S): CASREACT 143:248404; MARPAT 143:248404
GI



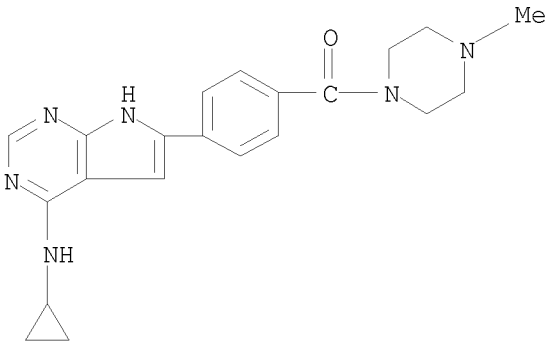
I

AB The title compds. I [R1, R2 = H, halo, alkyl, etc.; or NR1R2 = (un)substituted N-heterocycle; Y = X(R3)n, C(R3)(R3)A (wherein X = alkyl, amino, amido, carbonyl; A = hydroxy, amino, halo, alkyl; R3 = alkyl, alkoxy, carbonyl, etc.; n = 1-2)], useful for the treatment especially of a proliferative disease, such as a tumor, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = Me; R2 = Pr; Y = 4-methylpiperazin-1-ylmethyl], starting from Et 4-(4-chloro-7H-pyrrolo[2,3]pyrimidin-6-yl)benzoate, was given. The compds. I were tested against BcrAbl, c-Abl, c-Raf-1, HER-1, HER-2 and VEGF receptor (KDR). Specific data were given for representative compds. I. The invention also relates to pharmaceutical compns. comprising such derivs. I and to the use of such derivs. - alone or in combination with one or more other pharmaceutically active compds. - for the preparation of pharmaceutical compns.

IT 863306-84-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 7H-pyrrolopyrimidine derivs. as protein tyrosine kinase inhibitors)

RN 863306-84-7 CAPLUS

CN Methanone, [4-[4-(cyclopropylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



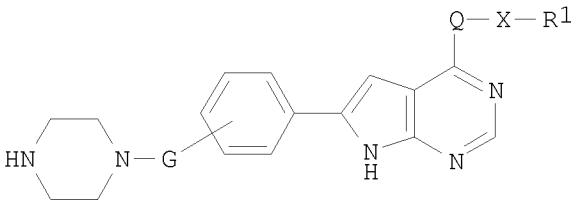
OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

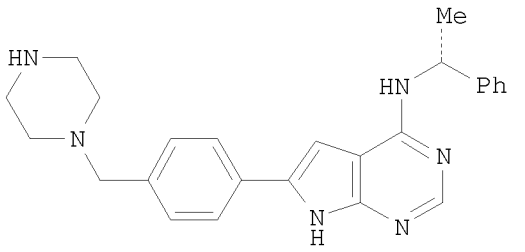
L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:823692 CAPLUS
DOCUMENT NUMBER: 143:229883
TITLE: Preparation of pyrrolopyrimidines for treating proliferative diseases
INVENTOR(S): Caravatti, Giorgio; Traxler, Peter; Esser, Thomas; He, Handan
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005075460	A2	20050818	WO 2005-EP876		20050128
WO 2005075460	A3	20070412			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA					
AU 2005211493	A1	20050818	AU 2005-211493		20050128
AU 2005211493	B2	20080807			
CA 2553243	A1	20050818	CA 2005-2553243		20050128
EP 1742937	A2	20070117	EP 2005-707074		20050128
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU					
BR 2005007289	A	20070703	BR 2005-7289		20050128
JP 2007531710	T	20071108	JP 2006-550122		20050128
CN 101103031	A	20080109	CN 2005-80003533		20050128
MX 2006008571	A	20060828	MX 2006-8571		20060728
KR 2006127947	A	20061213	KR 2006-715412		20060728
IN 2006CN02794	A	20070608	IN 2006-CN2794		20060728
PRIORITY APPLN. INFO.:			US 2004-540034P	P	20040129
			WO 2005-EP876	W	20050128

OTHER SOURCE(S): CASREACT 143:229883; MARPAT 143:229883
GI



I



II

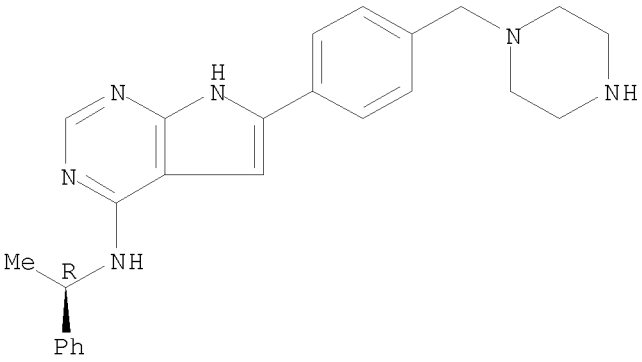
AB The present invention relates to a compound I [R1 = heterocyclyl, (un)substituted aryl; G = alkylene, C(O), or alkyleneC(O) wherein the carbonyl group is attached to the piperazine moiety; Q = NH or O, with the proviso that Q = O if G = C(O) or alkyleneC(O); and X is either not present or alkylene, with the proviso that a heterocyclic radical R1 is bonded via a ring carbon atom if X is not present], which is useful for treating anti-proliferative diseases. E.g., a 2-step synthesis of (R)-II, starting from {6-[4-(chloromethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl}-[(R)-1-phenylethyl]amine and N-BOC-piperazine, was given. The compds. I are effective as protein tyrosine kinase inhibitors. For example, the compds. I inhibit EGF-R tyrosine kinase activity by 50% in a concentration of from 0.0005 to 0.5 μM, especially from 0.001 to 0.1 μM.

IT 803706-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors for treating proliferative diseases)

RN 803706-07-2 CAPLUS

CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



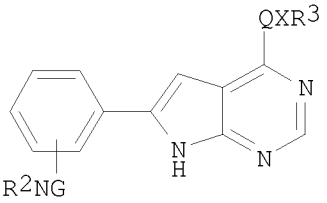
OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	2	THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:1060779 CAPLUS
DOCUMENT NUMBER: 142:38274
TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidines as protein tyrosine kinase inhibitors
INVENTOR(S): Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio; Traxler, Peter
PATENT ASSIGNEE(S): Novartis AG, Switz.
SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S. Ser. No. 485,747.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040248911	A1	20041209	US 2004-783000	20040220
US 7323469	B2	20080129		
WO 2003013541	A1	20030220	WO 2002-EP8780	20020806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
US 20040242600	A1	20041202	US 2004-485747	20040203
US 7244729	B2	20070717		

PRIORITY APPLN. INFO.:	GB 2001-19249	A	20010807
	WO 2002-EP8780	W	20020806
	US 2004-485747	A2	20040203

OTHER SOURCE(S): MARPAT 142:38274
GI



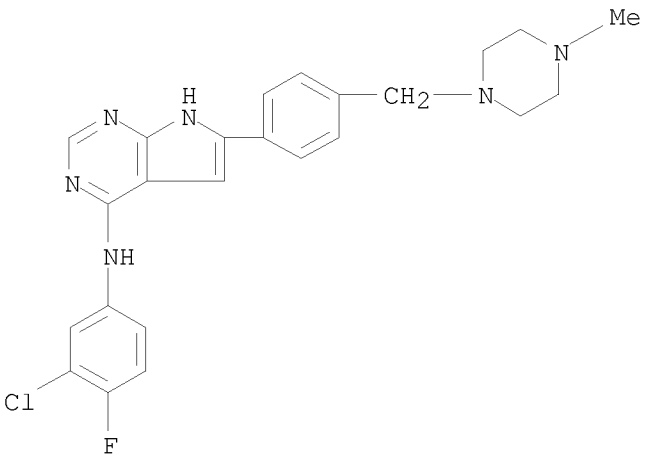
I

AB Title compds. [I; R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, R4Y(C:Z); R4 = (substituted) amino, heterocyclyl; Y = null, alkyl; Z = O, S, imino; R1R2N = heterocyclyl; R3 = heterocyclyl, (substituted) aryl; G = alkylene, CO, alkylenecarbonyl; Q = NH, CO; X = null, alkylene; with provisos], were prepared Thus, (3-chloro-4-fluorophenyl)-[6-[4-(4-ethylpiperazin-1-ylmethyl)phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amine (preparation outlined) inhibited the tyrosine kinase activity of HER-1, HER-2, and KDR with IC50 = 0.0031

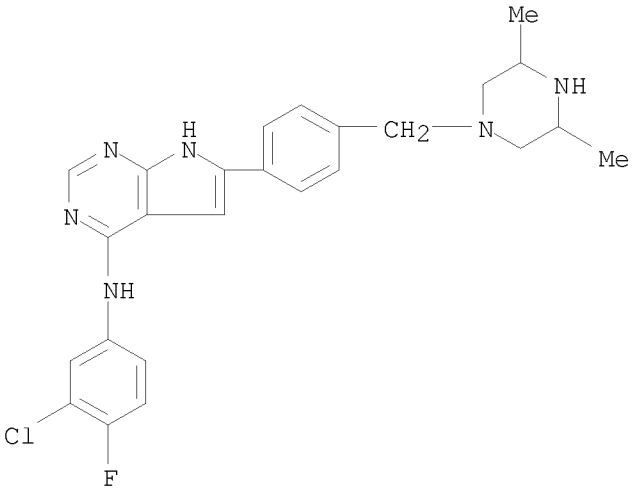
μM, 0.008 μM, and 0.0107 μM, resp.

IT 497839-52-8P 497839-55-1P 497839-60-8P
497839-67-5P 497839-75-5P 497839-81-3P
497839-86-8P 497839-89-1P 497839-94-8P
497840-00-3P 497840-13-8P 497840-17-2P
497840-30-9P 497840-32-1P 497840-34-3P
497840-36-5P 497840-39-8P 497840-41-2P
497840-44-5P 497840-48-9P 497840-57-0P
497840-62-7P 497840-69-4P 497840-76-3P
497840-83-2P 497840-85-4P 497840-90-1P
497840-95-6P 497841-10-8P 497841-13-1P
497841-14-2P 497841-20-0P 497841-62-0P
803706-06-1P 803706-07-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of pyrrolopyrimidines as protein tyrosine kinase inhibitors)

RN 497839-52-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)

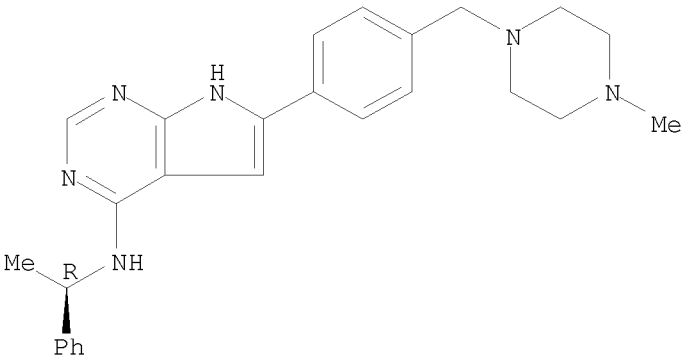


RN 497839-55-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



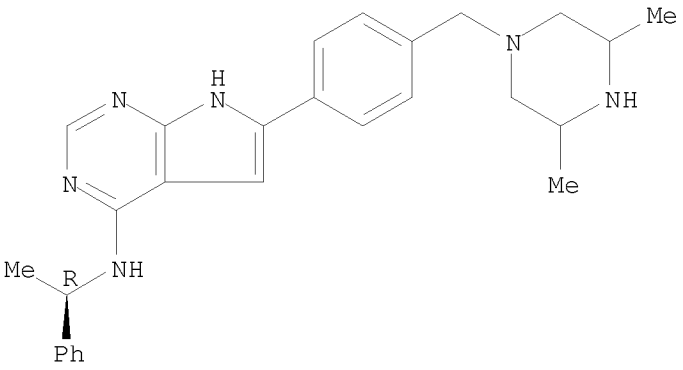
RN 497839-60-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

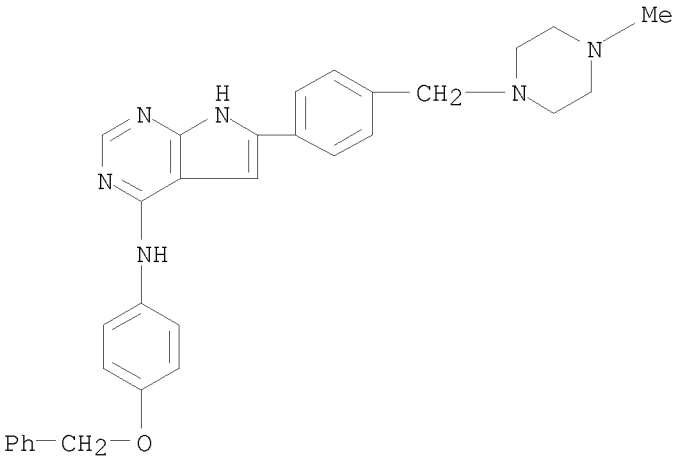


RN 497839-67-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

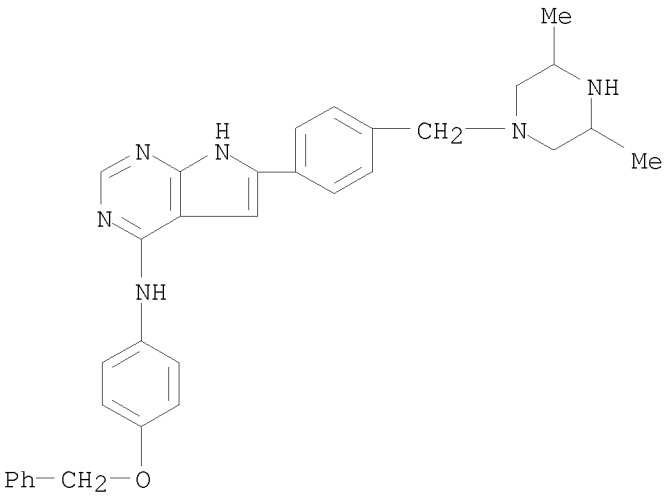
Absolute stereochemistry.



RN 497839-75-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]-
(CA INDEX NAME)

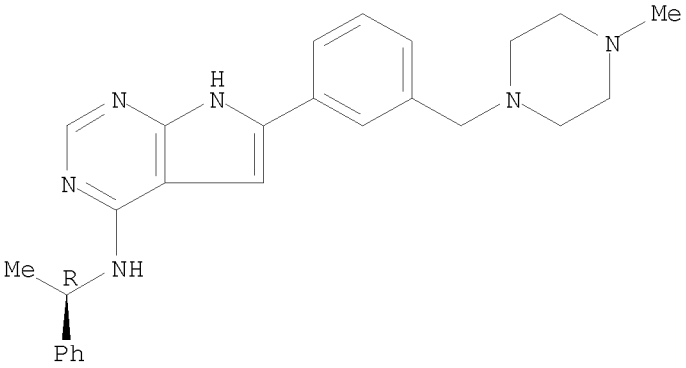


RN 497839-81-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[4-
(phenylmethoxy)phenyl]- (CA INDEX NAME)



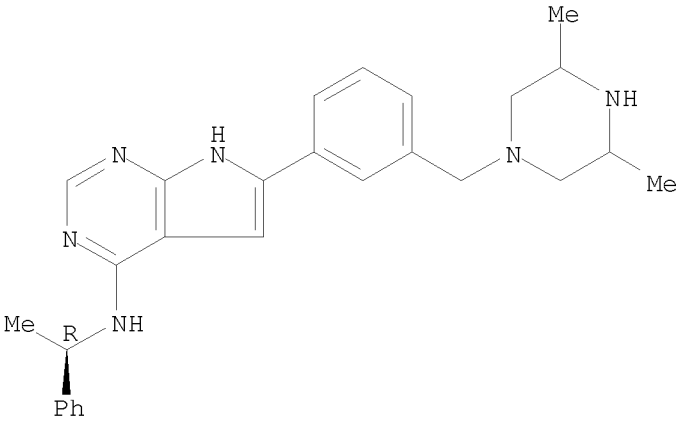
RN 497839-86-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

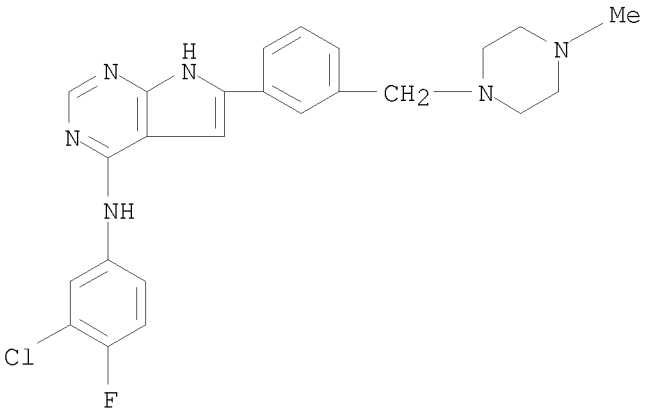


RN 497839-89-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

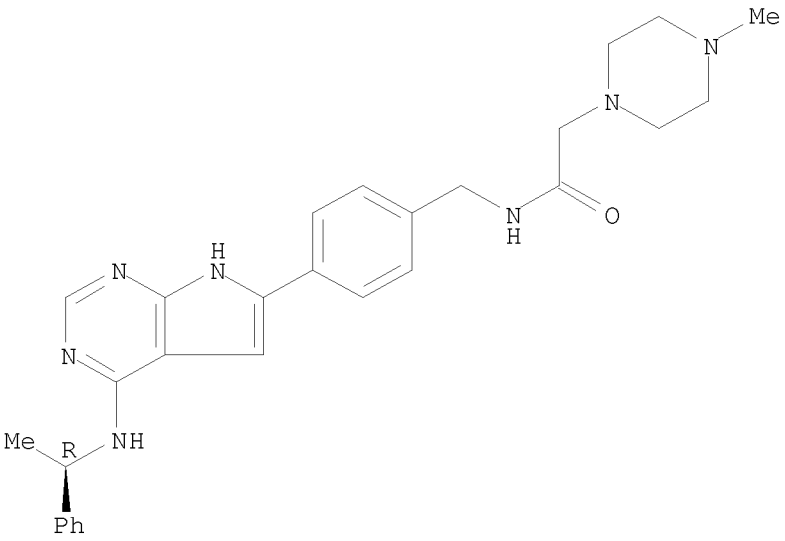


RN 497839-94-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chloro-4-fluorophenyl)-6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)

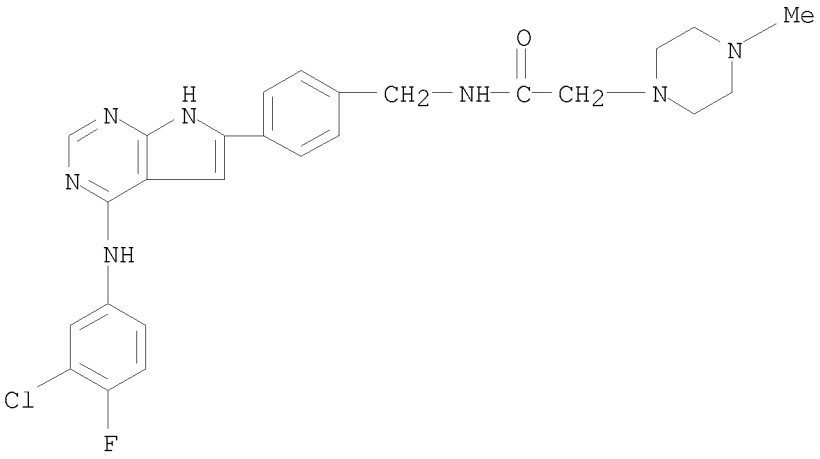


RN 497840-00-3 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

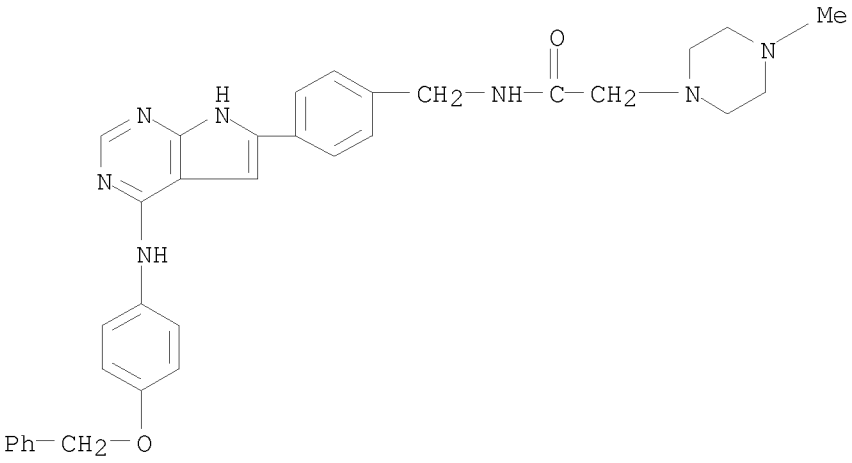
Absolute stereochemistry.



RN 497840-13-8 CAPLUS
CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (CA INDEX NAME)

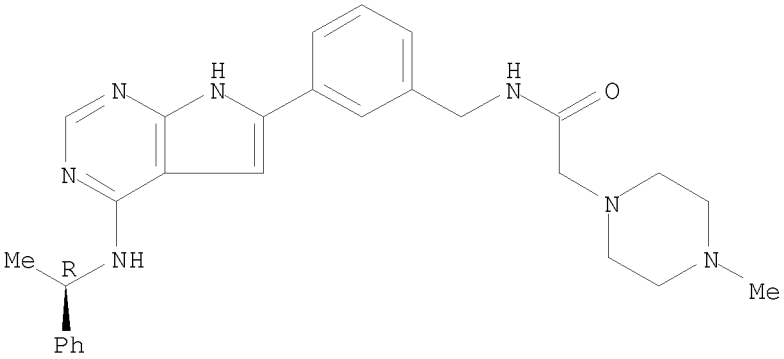


RN 497840-17-2 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[[4-(phenylmethoxy)phenyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

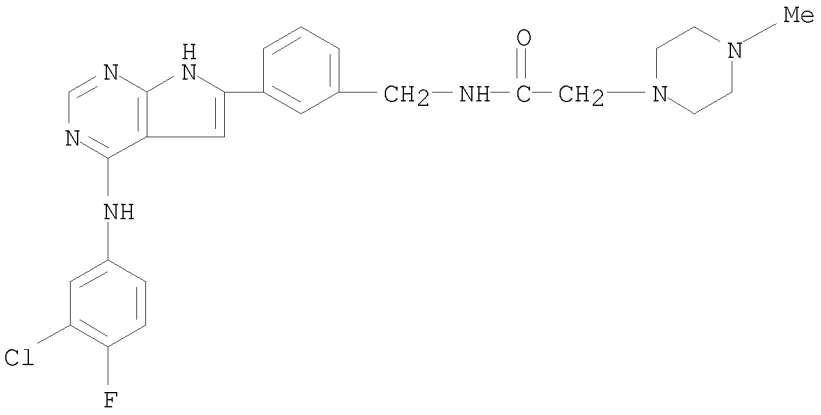


RN 497840-30-9 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

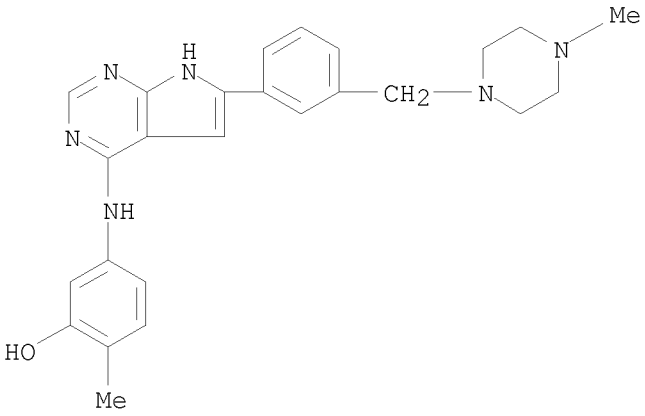
Absolute stereochemistry.



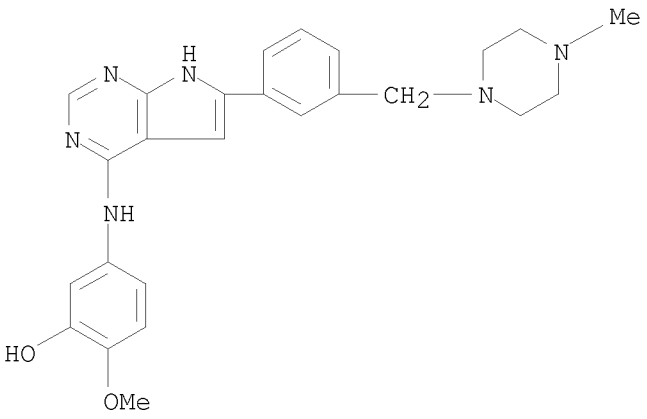
RN 497840-32-1 CAPLUS
CN 1-Piperazineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (CA INDEX NAME)



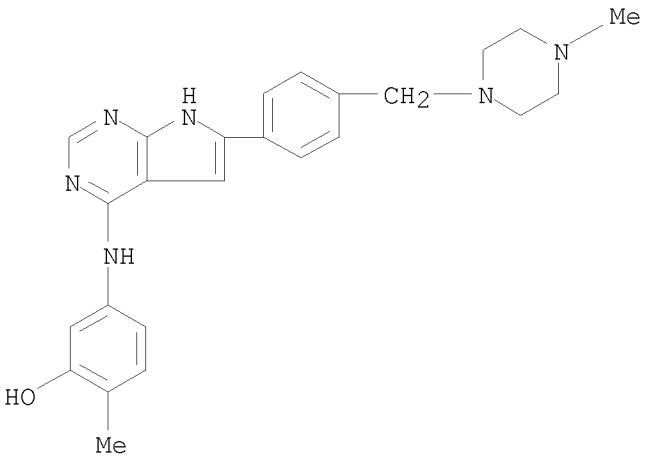
RN 497840-34-3 CAPLUS
CN Phenol, 2-methyl-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



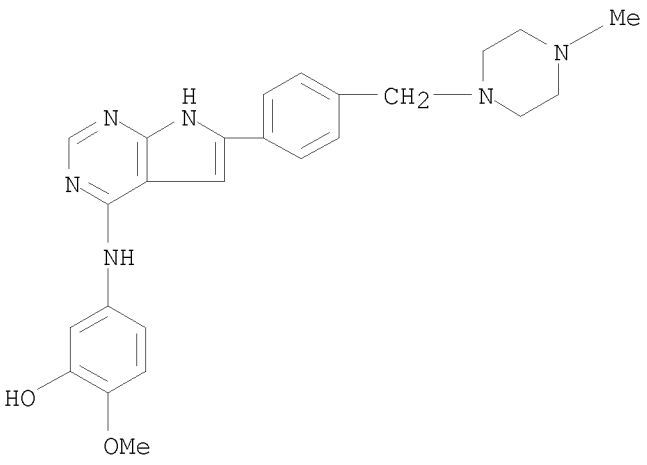
RN 497840-36-5 CAPLUS
CN Phenol, 2-methoxy-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



RN 497840-39-8 CAPLUS
CN Phenol, 2-methyl-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

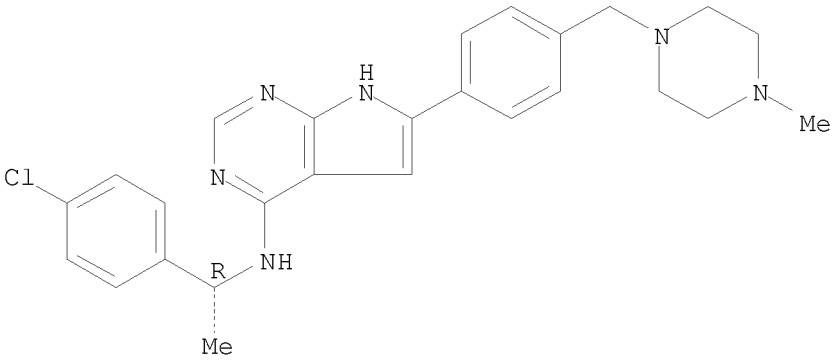


RN 497840-41-2 CAPLUS
CN Phenol, 2-methoxy-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

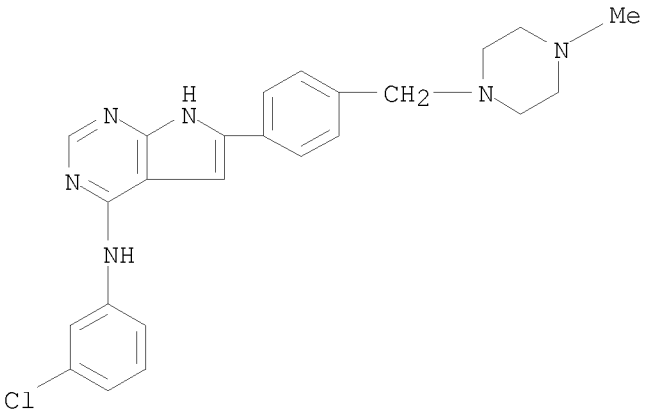


RN 497840-44-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(4-methyl-1-
piperazinyl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

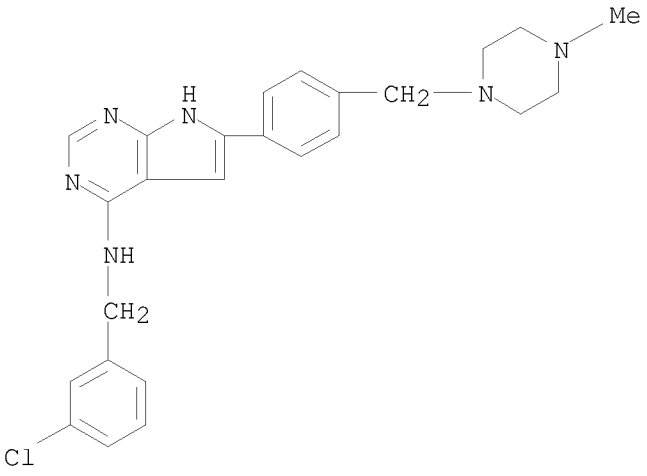


RN 497840-48-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(3-chlorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-,
hydrochloride (1:2) (CA INDEX NAME)

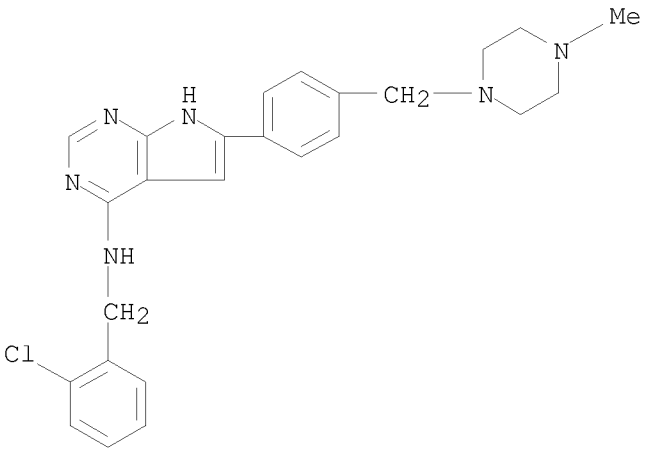


●2 HCl

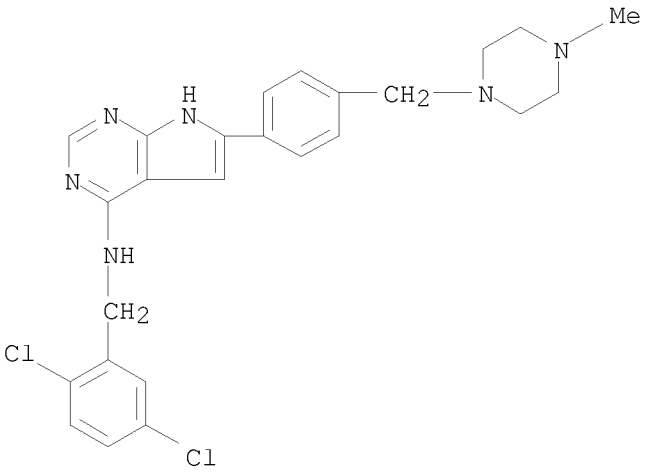
RN 497840-57-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(3-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)



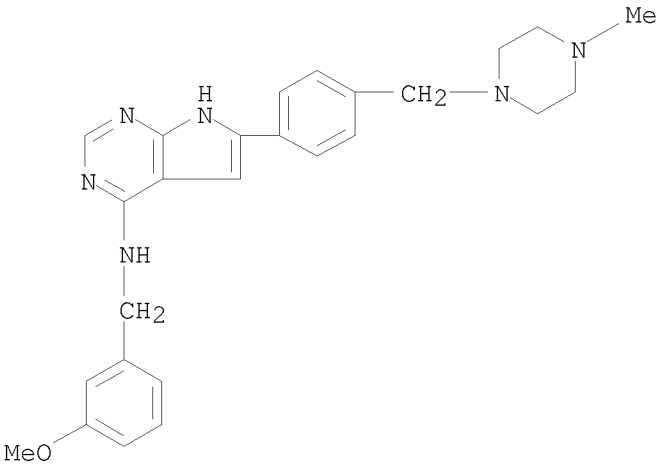
RN 497840-62-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(2-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)



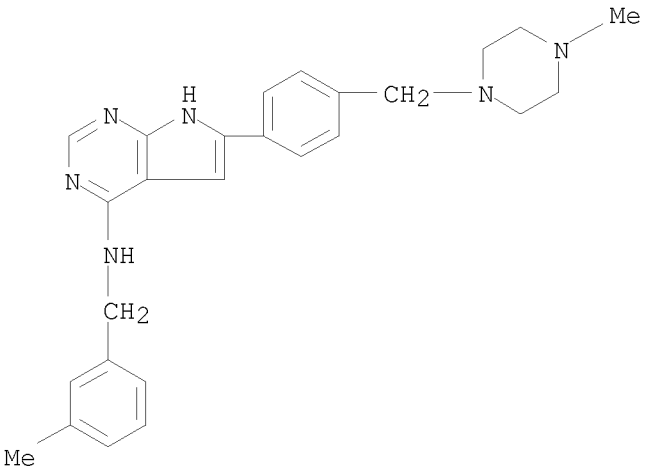
RN 497840-69-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



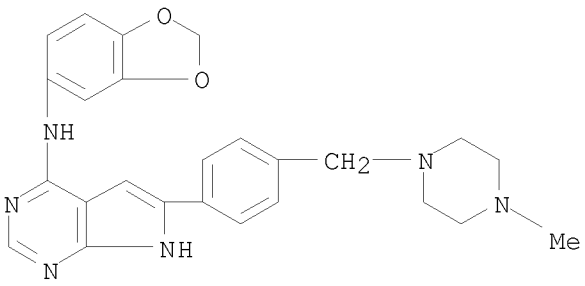
RN 497840-76-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methoxyphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



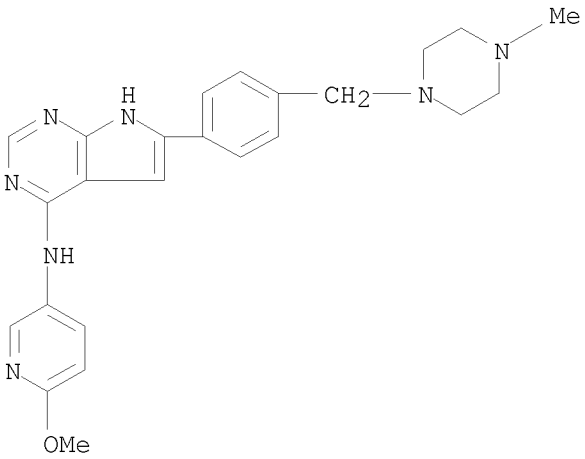
RN 497840-83-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(3-methylphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



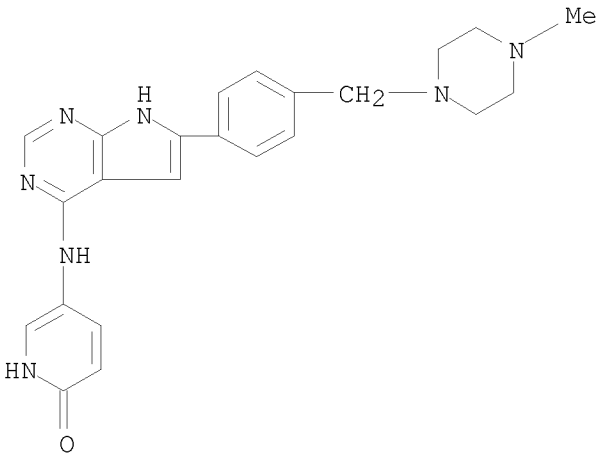
RN 497840-85-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



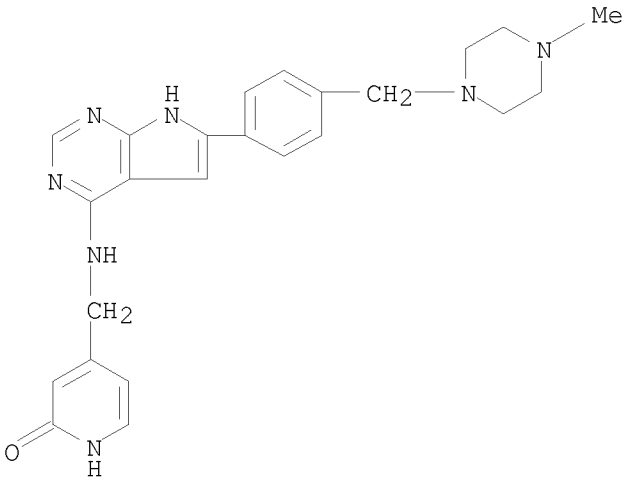
RN 497840-90-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



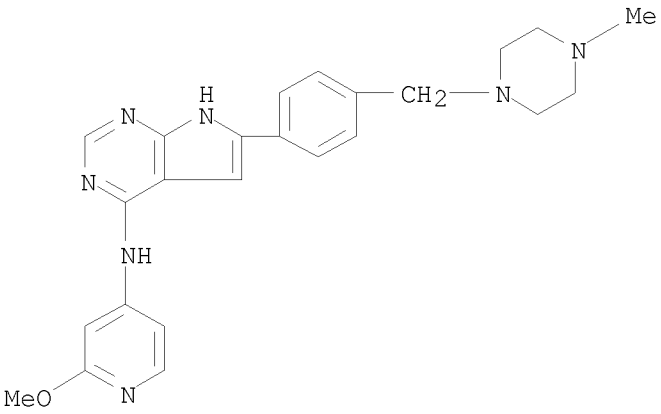
RN 497840-95-6 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



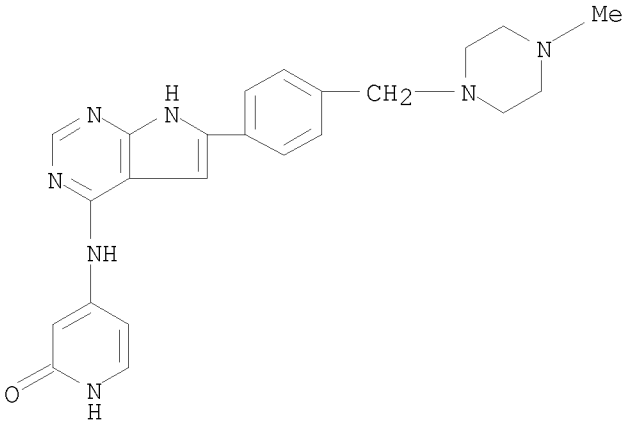
RN 497841-10-8 CAPLUS
CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)



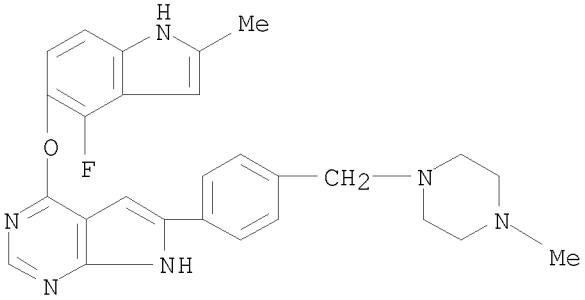
RN 497841-13-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



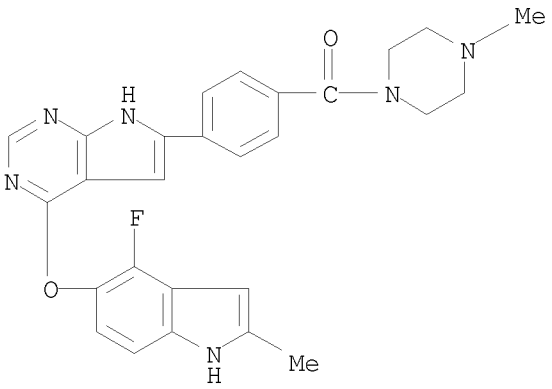
RN 497841-14-2 CAPLUS
CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



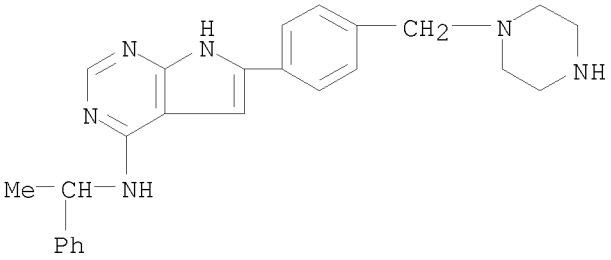
RN 497841-20-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



RN 497841-62-0 CAPLUS
CN Methanone, [4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)

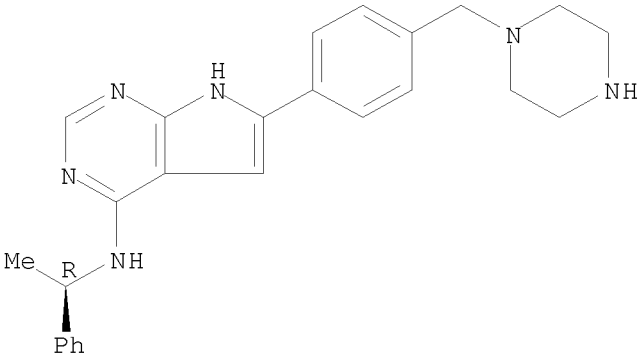


RN 803706-06-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(1-phenylethyl)-6-[4-(1-piperazinylmethyl)phenyl]- (CA INDEX NAME)



RN 803706-07-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-phenylethyl]-6-[4-(1-piperazinylmethyl)phenyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT:	1	THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT:	20	THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:356449 CAPLUS
DOCUMENT NUMBER: 138:368905
TITLE: Preparation of 7H-pyrrolo[2,3-d]pyrimidine derivatives for treatment of solid tumor diseases
INVENTOR(S): Ball, Howard Ashley; Cohen, Pamela Sarah; Lee, Lucy; Ravera, Christina Portrude
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma Gmbh
SOURCE: PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003037897	A2	20030508	WO 2002-EP12024		20021028
WO 2003037897	A3	20030918			
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW					
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR					
AU 2002349013	A1	20030512	AU 2002-349013		20021028
EP 1441736	A2	20040804	EP 2002-781294		20021028
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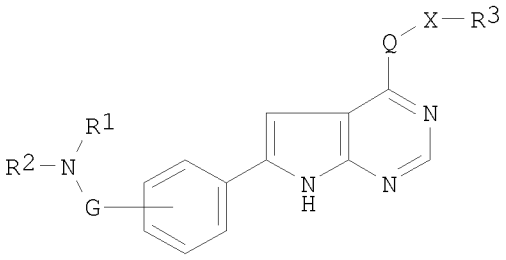
JP 2005507424	T	20050317	JP 2003-540178		20021028
US 20050038048	A1	20050217	US 2004-493787		20040426
PRIORITY APPLN. INFO.:			US 2001-340923P	P	20011029
			US 2002-361655P	P	20020305
			US 2002-379365P	P	20020509
			WO 2002-EP12024	W	20021028

OTHER SOURCE(S): MARPAT 138:368905
GI



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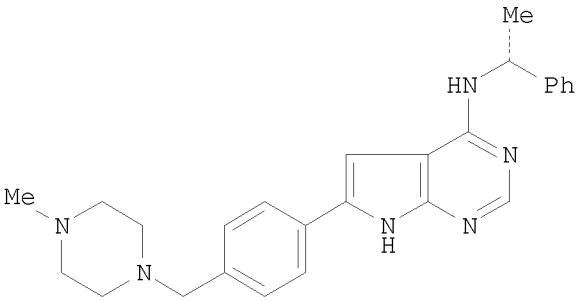


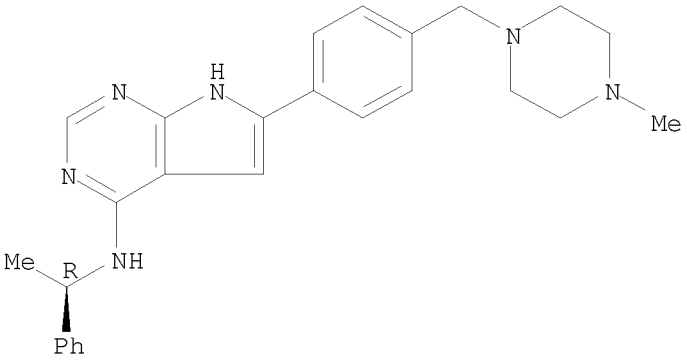
II

AB Title compds. I [wherein R1 and R2 = independently H or (un)substituted (cyclo)alkyl, heterocyclyl, or R4YCZ, with the proviso that R1 and R2 ≠ both H; or NR1R2 = heterocyclyl; R3 = heterocyclyl or (un)substituted aryl; R4 = (un)substituted amino or heterocyclyl; G = alkylene, CO, or alkylene-CO; Q = NH or O, with the proviso Q = O if G = CO or alkylene-CO; X = absent or alkylene, with the proviso R3 = heterocyclyl if X is absent; Y = absent or alkyl; Z = O, S, or NH; or pharmaceutically acceptable salts thereof] were prepared as anticancer agents. For example, substitution of 4-(4-chloro-7H-pyrrolo[2,3-d]pyrimidin-6-yl)benzoic acid Et ester with (R)-phenethylamine in BuOH gave the benzenamine. Reduction of the ester using lithium aluminum hydride, followed by reaction with thionyl chloride in toluene afforded the chloromethyl derivative Coupling with N-methylpiperazine in the presence of K2CO3 in DMF yielded II. Thus, I are useful for the treatment of patients suffering from a solid tumor disease selected from carcinoma of the bladder, renal carcinoma, squamous cell carcinoma of the skin, head and neck cancer, especially squamous cell head and neck cancer, lung cancer, especially non small cell lung cancer (NSCLC), tumors of the gastrointestinal tract, glioma, and mesothelioma or metastases of such solid tumor diseases (no data). Also disclosed is a method of administering the title 7H-pyrrolo[2,3-d]pyrimidines over at least a three week time period on only about 40% to about 71% of the days in the time period (no data).

IT 497839-60-8P, [6-[4-[(4-Methylpiperazin-1-yl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]((R)-1-phenylethyl)amine
497839-67-5P, [6-[4-[(3,5-Dimethylpiperazin-1-yl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]((R)-1-phenylethyl)amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antitumor agent; preparation of pyrrolopyrimidines for treatment of solid

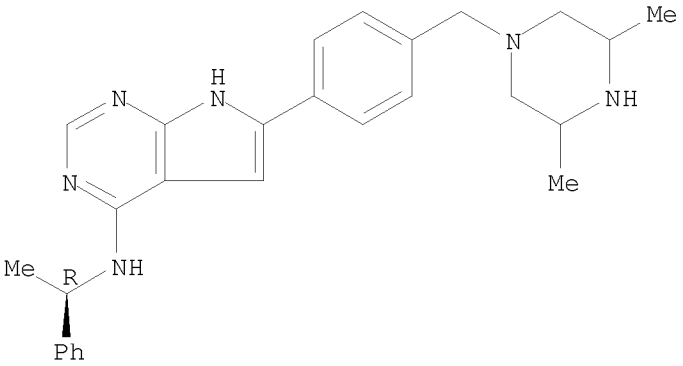
tumor diseases)
RN 497839-60-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 497839-67-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]-
(CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:133054 CAPLUS
DOCUMENT NUMBER: 138:170253
TITLE: Preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein tyrosine kinase inhibitors
INVENTOR(S): Bold, Guido; Capraro, Hans-Georg; Caravatti, Giorgio; Traxler, Peter
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003013541	A1	20030220	WO 2002-EP8780	20020806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NO, NZ, OM, PH, PL, PT, RO, RU, SE, SG, SI, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR				
CA 2453881	A1	20030220	CA 2002-2453881	20020806
AU 2002324029	A1	20030224	AU 2002-324029	20020806
AU 2002324029	B2	20050127		
EP 1416935	A1	20040512	EP 2002-758437	20020806
EP 1416935	B1	20080312		
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BR 2002011801	A	20040831	BR 2002-11801	20020806
HU 2004001083	A2	20040928	HU 2004-1083	20020806
HU 2004001083	A3	20071228		
CN 1538847	A	20041020	CN 2002-815351	20020806
JP 2005501077	T	20050113	JP 2003-518550	20020806
JP 4147184	B2	20080910		
NZ 530824	A	20050826	NZ 2002-530824	20020806
RU 2318826	C2	20080310	RU 2004-106783	20020806
AT 388713	T	20080315	AT 2002-758437	20020806
ES 2302830	T3	20080801	ES 2002-758437	20020806
ZA 2004000271	A	20041101	ZA 2004-271	20040114
US 20040242600	A1	20041202	US 2004-485747	20040203
US 7244729	B2	20070717		
NO 2004000540	A	20040205	NO 2004-540	20040205
MX 2004001191	A	20050217	MX 2004-1191	20040206
IN 2004CN00238	A	20051209	IN 2004-CN238	20040206
US 20040248911	A1	20041209	US 2004-783000	20040220
US 7323469	B2	20080129		
HK 1065483	A1	20080822	HK 2004-108357	20041025
US 20070161632	A1	20070712	US 2007-686023	20070314
US 7390805	B2	20080624		

PRIORITY APPLN. INFO.:	GB 2001-19249	A	20010807
	WO 2002-EP8780	W	20020806
	US 2004-485747	A2	20040203

OTHER SOURCE(S): MARPAT 138:170253
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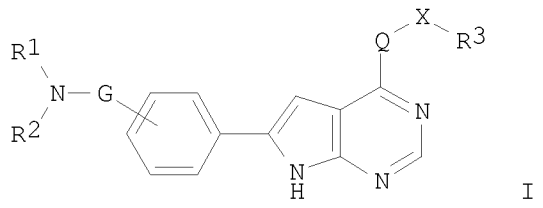

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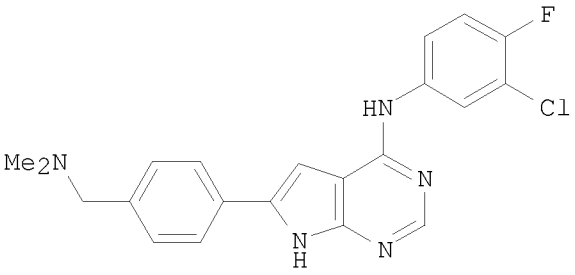
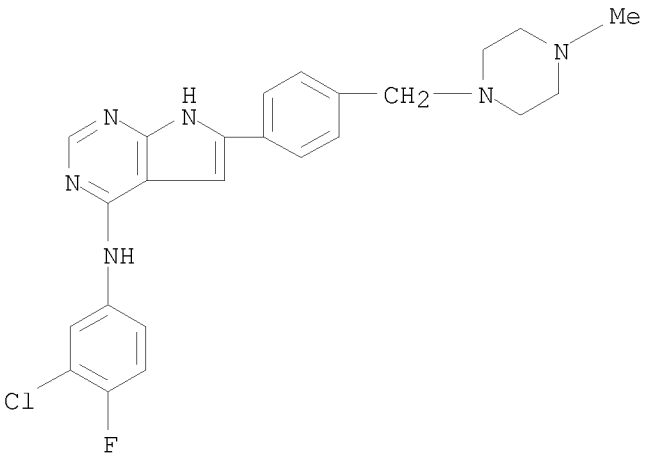


II

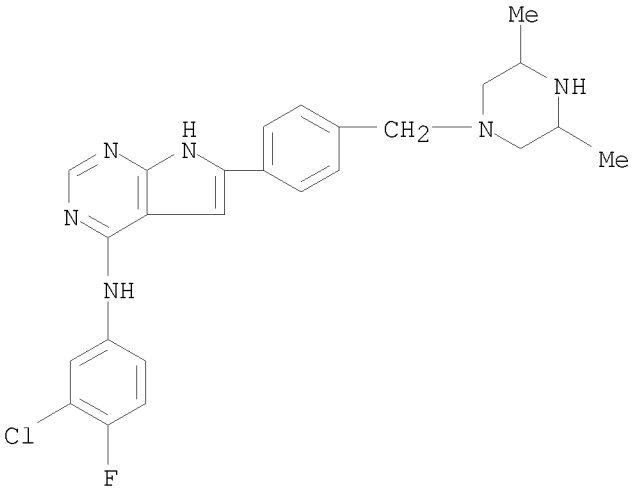
AB The title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc.; or NR1R2 = heterocyclyl; R3 = heterocyclyl, (un)substituted aryl; G = alkylene, CO, alkyleneCO wherein the carbonyl group is attached to the NR1R2; Q = NH, O, with the proviso that Q = O if G = CO or alkyleneCO; X is either not present or alkylene, with the proviso that a heterocyclic radical R3 is bonded via a ring carbon if X is not present] and their salts, useful for treatment of a disease which responds to an inhibition of a protein tyrosine kinase, especially for the treatment of a proliferative disease, such as a tumor, were prepared and formulated. E.g., a 4-step synthesis of II, starting from Et 4-(4-chloro-7H-pyrrolo[2,3-d]pyrimidin-6-yl)benzoate and 3-chloro-4-fluoroaniline, was given. Compds. I were tested for their inhibition of the tyrosine kinase activity of EGF-R (HER-1), ErbB-2 (HER-2) and VEGF receptor (KDR) (data given for 21 exemplified compds.).

IT 497839-52-8P 497839-55-1P 497839-60-8P
497839-67-5P 497839-75-5P 497839-81-3P
497839-86-8P 497839-89-1P 497839-94-8P
497840-00-3P 497840-13-8P 497840-17-2P
497840-30-9P 497840-32-1P 497840-34-3P
497840-36-5P 497840-39-8P 497840-41-2P
497840-44-5P 497840-48-9P 497840-57-0P
497840-62-7P 497840-69-4P 497840-76-3P
497840-83-2P 497840-85-4P 497840-90-1P
497840-95-6P 497841-03-9P 497841-10-8P
497841-13-1P 497841-14-2P 497841-20-0P
497841-62-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-amino-6-phenyl-pyrrolo[2,3-d]pyrimidines as protein

tyrosine kinase inhibitors)
RN 497839-52-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(3-chloro-4-fluorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)

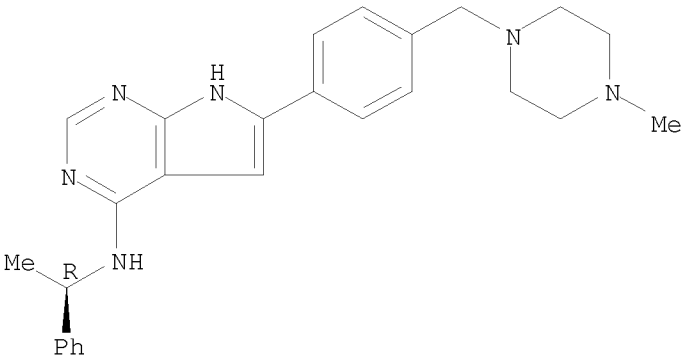


RN 497839-55-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(3-chloro-4-fluorophenyl)-6-[4-[(3,5-dimethyl-1-
piperazinyl)methyl]phenyl]- (CA INDEX NAME)



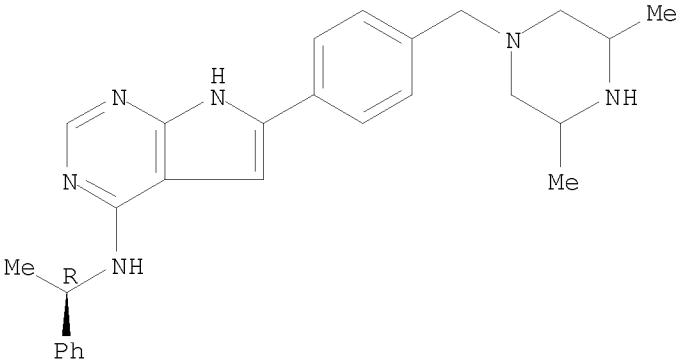
RN 497839-60-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA
INDEX NAME)

Absolute stereochemistry.

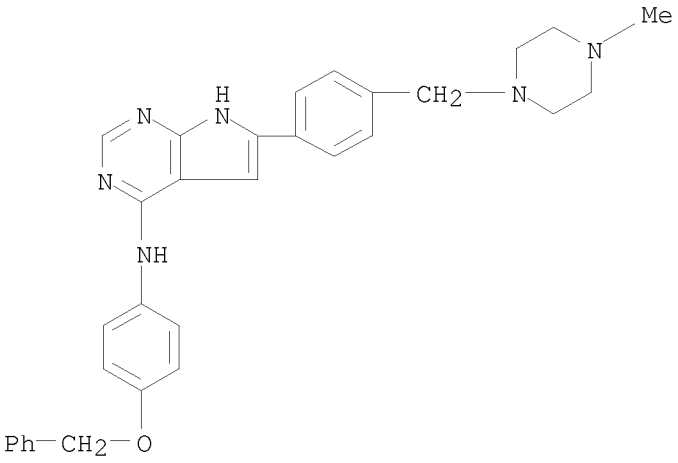


RN 497839-67-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]-
(CA INDEX NAME)

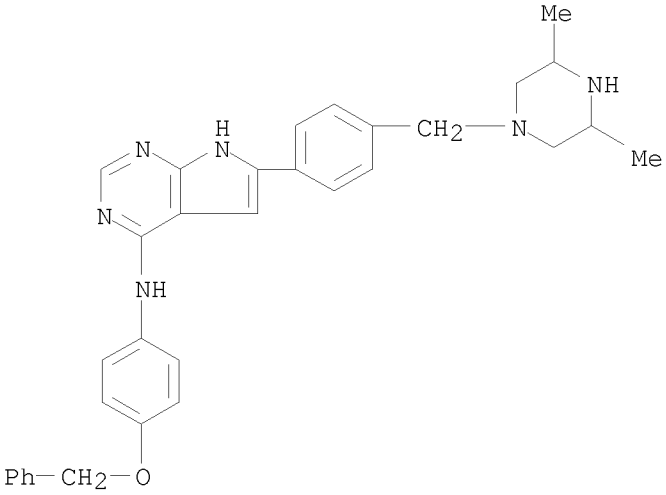
Absolute stereochemistry.



RN 497839-75-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]-
(CA INDEX NAME)

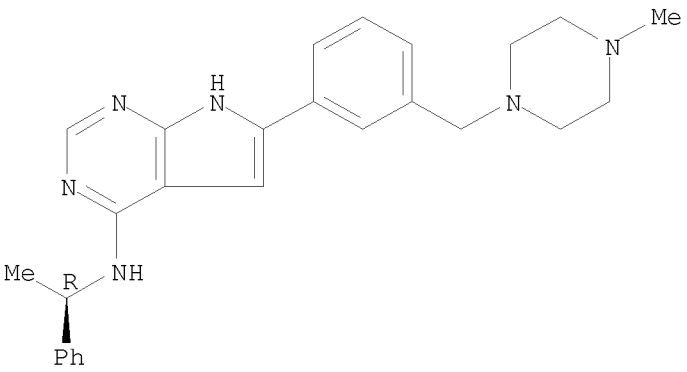


RN 497839-81-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[4-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



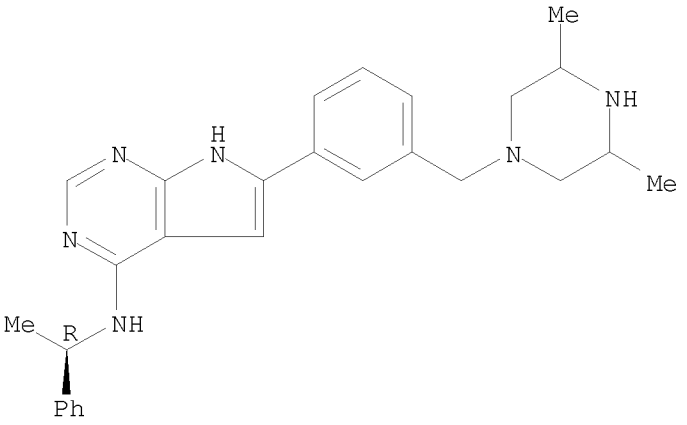
RN 497839-86-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, 6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

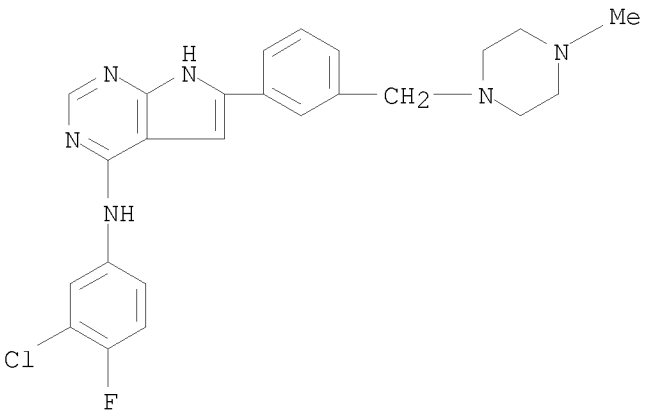


RN 497839-89-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
6-[3-[(3,5-dimethyl-1-piperazinyl)methyl]phenyl]-N-[(1R)-1-phenylethyl]-
(CA INDEX NAME)

Absolute stereochemistry.

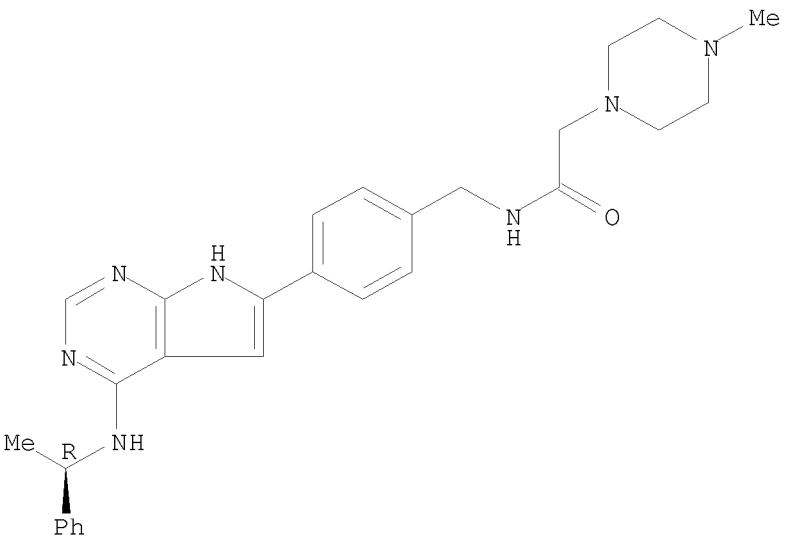


RN 497839-94-8 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(3-chloro-4-fluorophenyl)-6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)

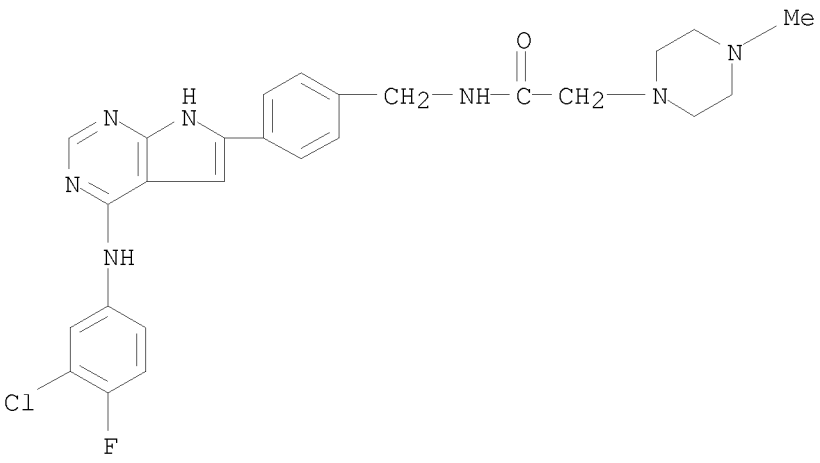


RN 497840-00-3 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

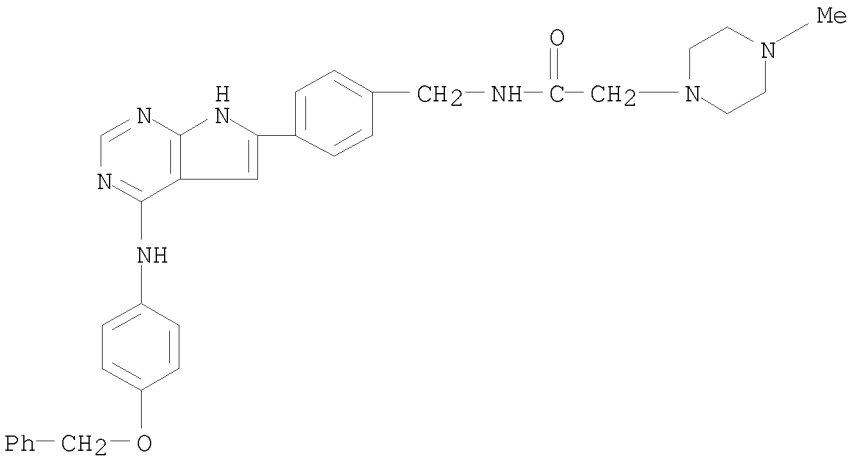
Absolute stereochemistry.



RN 497840-13-8 CAPLUS
CN 1-Piperazineacetamide, N-[[4-[4-[(3-chloro-4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (CA INDEX NAME)

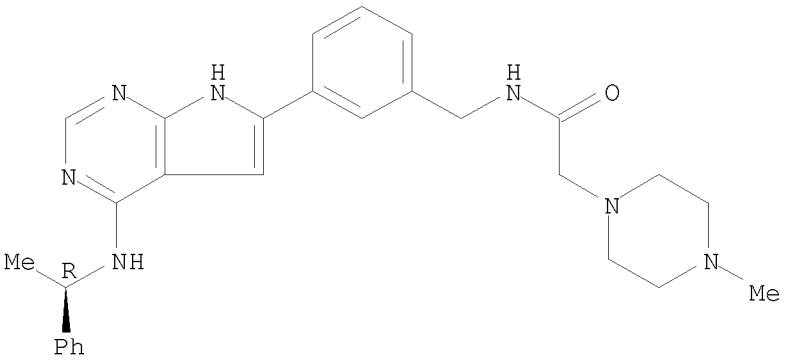


RN 497840-17-2 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[4-[4-[[4-(phenylmethoxy)phenyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

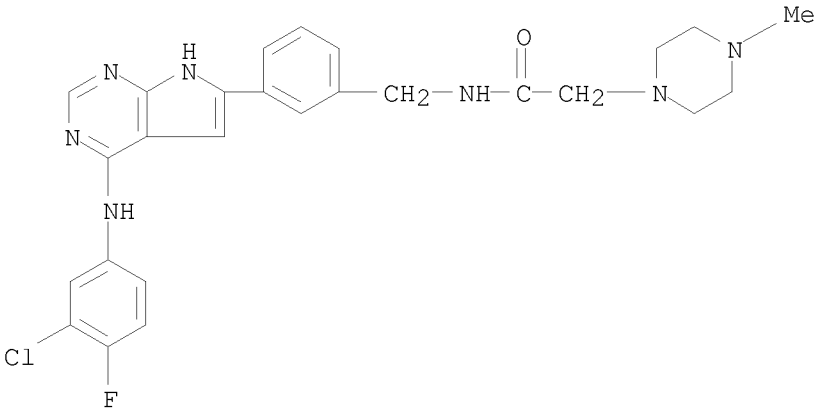


RN 497840-30-9 CAPLUS
CN 1-Piperazineacetamide, 4-methyl-N-[[3-[4-[[(1R)-1-phenylethyl]amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]- (CA INDEX NAME)

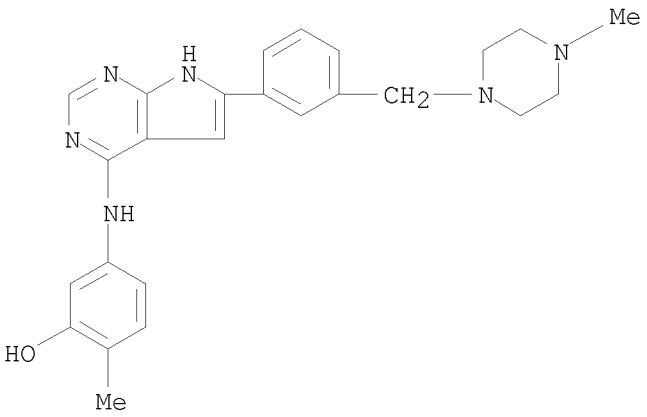
Absolute stereochemistry.



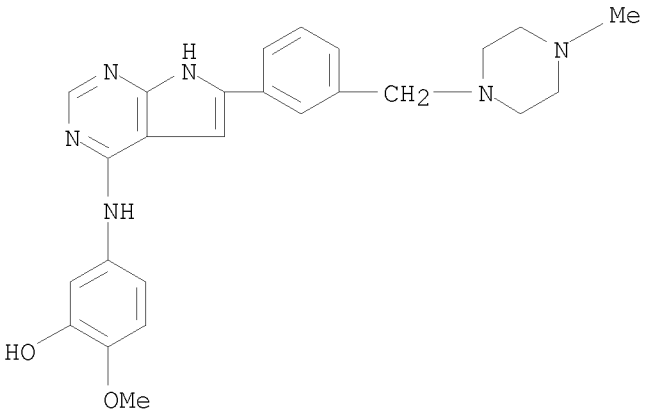
RN 497840-32-1 CAPLUS
CN 1-Piperazineacetamide, N-[[3-[4-[(3-chloro-4-fluorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl]methyl]-4-methyl- (CA INDEX NAME)



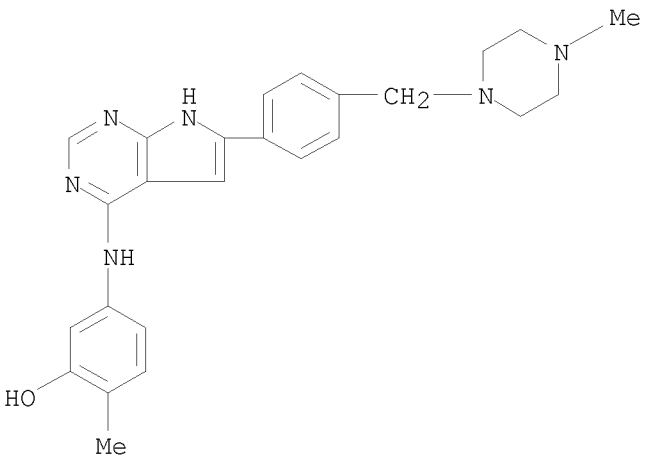
RN 497840-34-3 CAPLUS
CN Phenol, 2-methyl-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



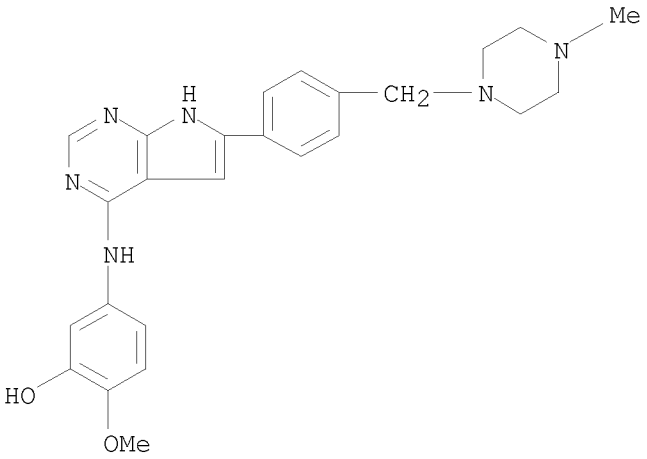
RN 497840-36-5 CAPLUS
CN Phenol, 2-methoxy-5-[[6-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



RN 497840-39-8 CAPLUS
CN Phenol, 2-methyl-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

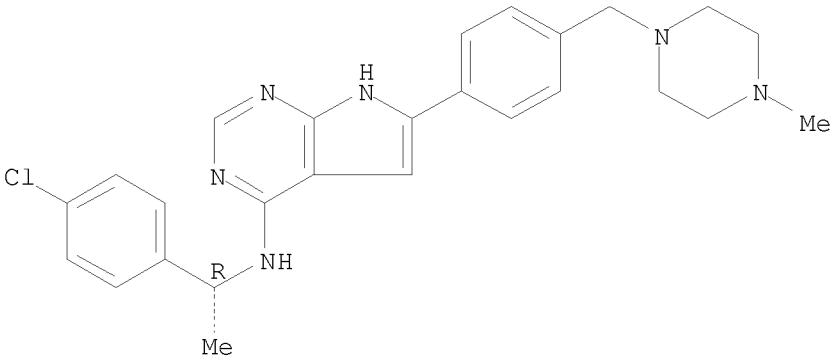


RN 497840-41-2 CAPLUS
CN Phenol, 2-methoxy-5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)

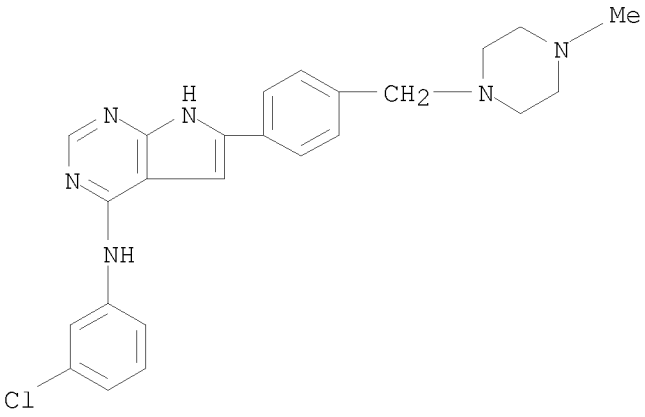


RN 497840-44-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(1R)-1-(4-chlorophenyl)ethyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry.

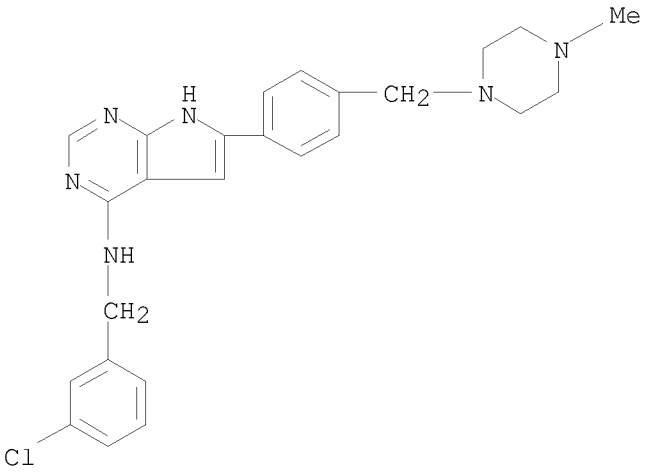


RN 497840-48-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-(3-chlorophenyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-,
hydrochloride (1:2) (CA INDEX NAME)

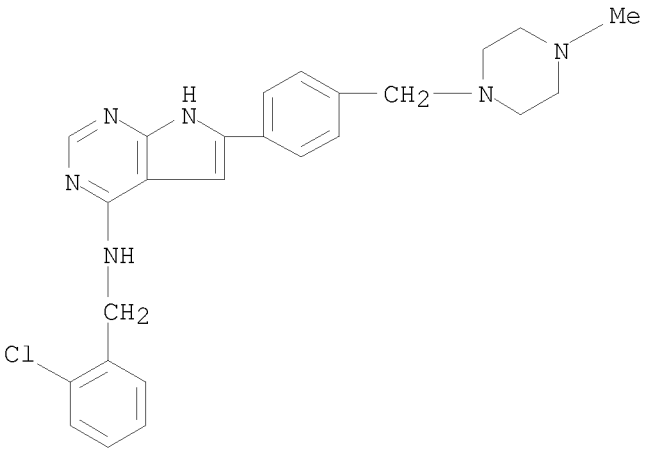


●2 HCl

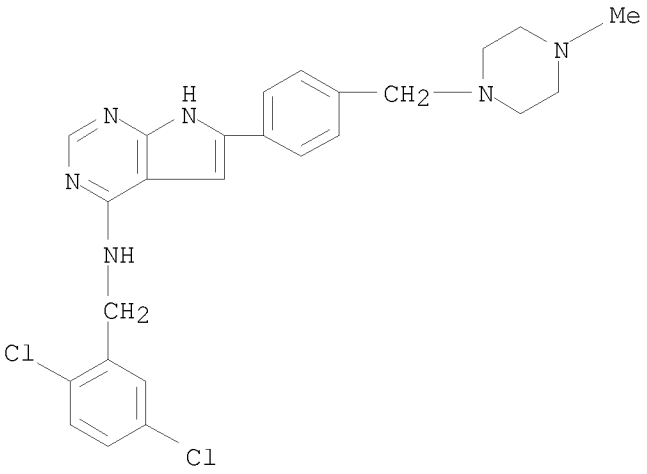
RN 497840-57-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(3-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)



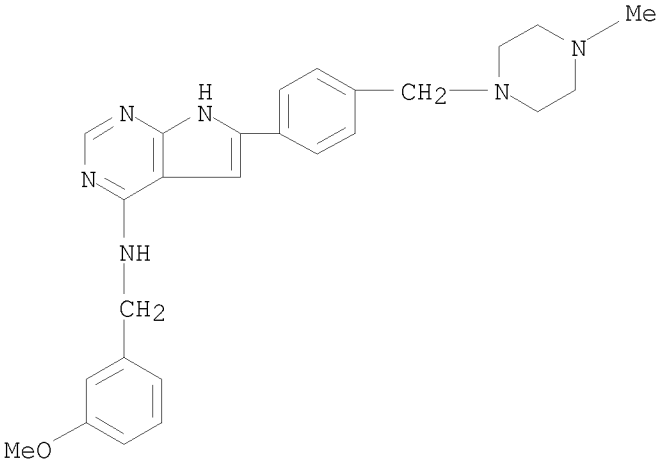
RN 497840-62-7 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-chlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



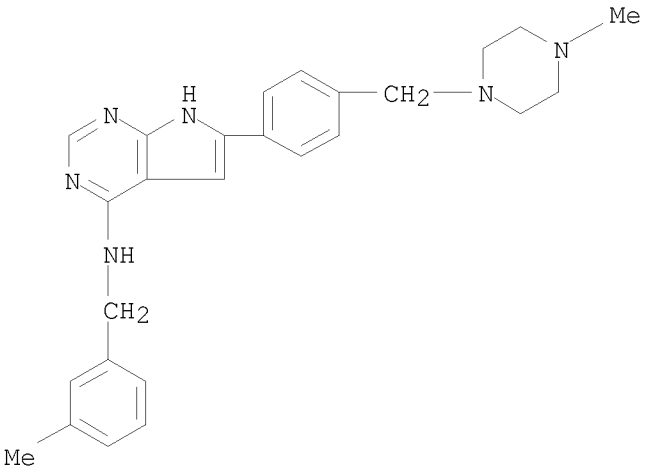
RN 497840-69-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2,5-dichlorophenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



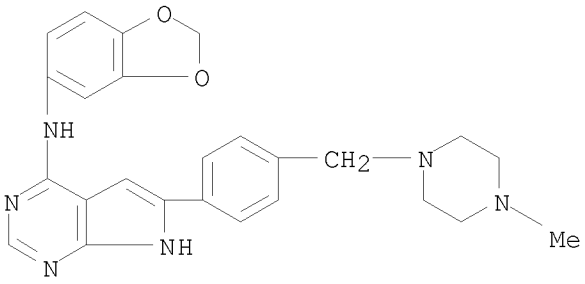
RN 497840-76-3 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(3-methoxyphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)



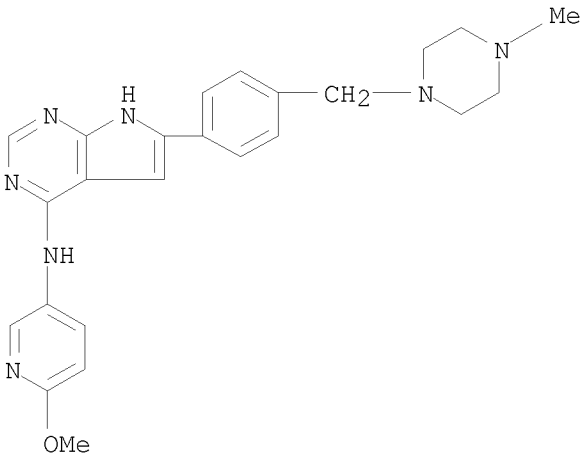
RN 497840-83-2 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine,
N-[(3-methylphenyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-
(CA INDEX NAME)



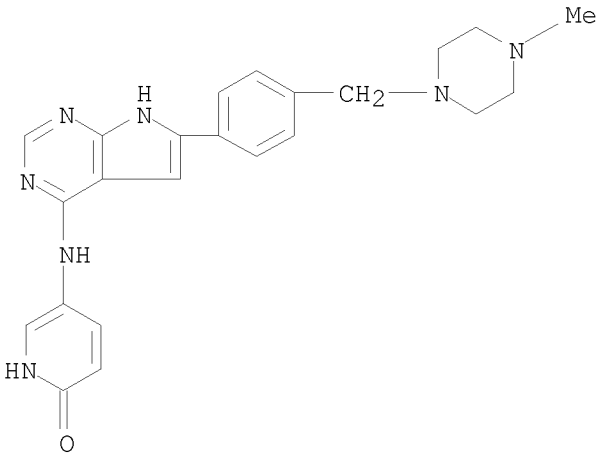
RN 497840-85-4 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-1,3-benzodioxol-5-yl-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



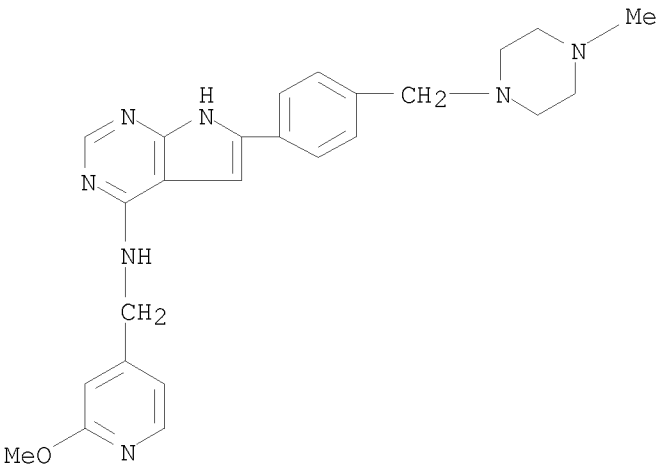
RN 497840-90-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(6-methoxy-3-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



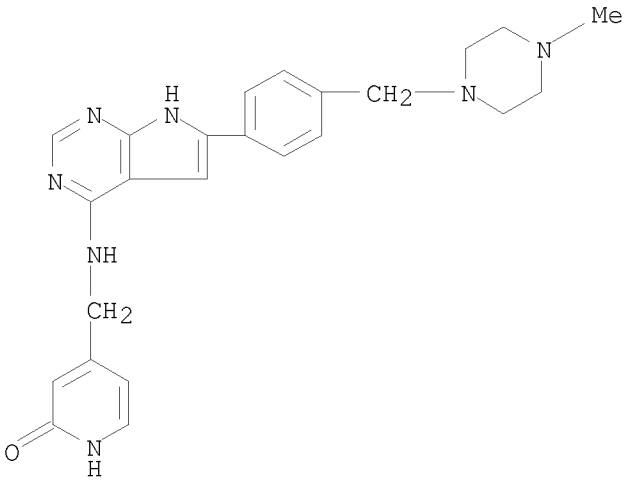
RN 497840-95-6 CAPLUS
CN 2(1H)-Pyridinone, 5-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



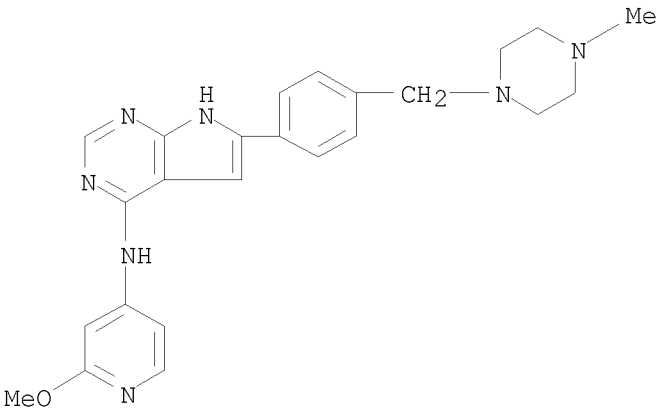
RN 497841-03-9 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-[(2-methoxy-4-pyridinyl)methyl]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



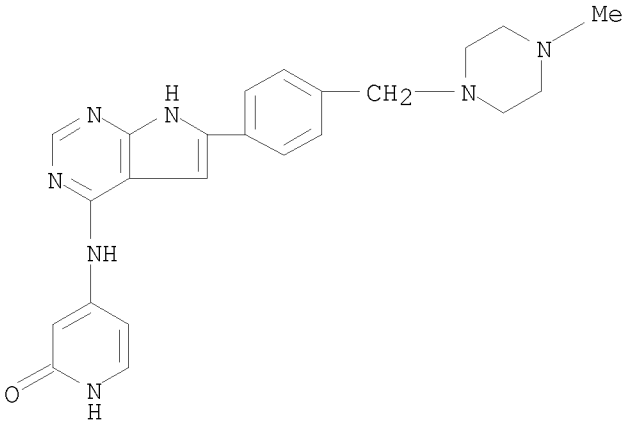
RN 497841-10-8 CAPLUS
CN 2(1H)-Pyridinone, 4-[[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]methyl]- (CA INDEX NAME)



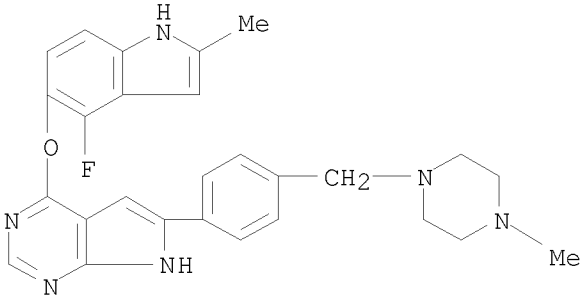
RN 497841-13-1 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(2-methoxy-4-pyridinyl)-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



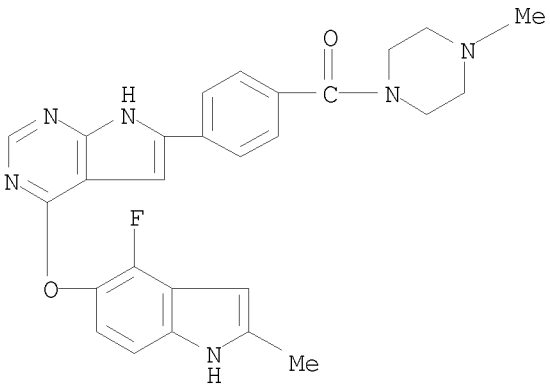
RN 497841-14-2 CAPLUS
CN 2(1H)-Pyridinone, 4-[[6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]-7H-pyrrolo[2,3-d]pyrimidin-4-yl]amino]- (CA INDEX NAME)



RN 497841-20-0 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidine, 4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-6-[4-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)



RN 497841-62-0 CAPLUS
CN Methanone, [4-[4-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (15 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1998:147332 CAPLUS
DOCUMENT NUMBER: 128:192664
ORIGINAL REFERENCE NO.: 128:38067a,38070a
TITLE: Preparation of substituted pyrrolopyrimidines as antitumor agents
INVENTOR(S): Traxler, Peter; Bold, Guido; Lang, Marc; Frei, Jorg
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Traxler, Peter; Bold, Guido; Lang, Marc; Frei, Jorg
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9807726	A1	19980226	WO 1997-EP4564	19970821
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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CN 1100778	C	20030205		
CA 2262421	A1	19980226	CA 1997-2262421	19970821
CA 2262421	C	20071002		
AU 9742064	A	19980306	AU 1997-42064	19970821
AU 720429	B2	20000601		
EP 938486	A1	19990901	EP 1997-940108	19970821
EP 938486	B1	20080116		
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JP 2000516626	T	20001212	JP 1998-510425	19970821
JP 4242928	B2	20090325		
AT 384062	T	20080215	AT 1997-940108	19970821
ES 2297864	T3	20080501	ES 1997-940108	19970821
US 6180636	B1	20010130	US 1999-242592	19990219

PRIORITY APPLN. INFO.:	CH 1996-2071	A	19960823
	CH 1995-1976	A	19950706
	WO 1997-EP4564	A	19970821

OTHER SOURCE(S): MARPAT 128:192664
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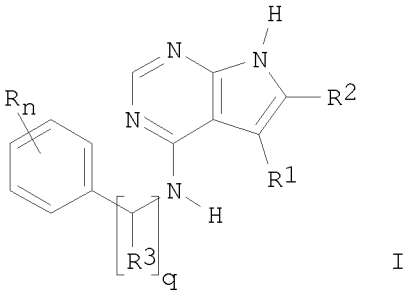
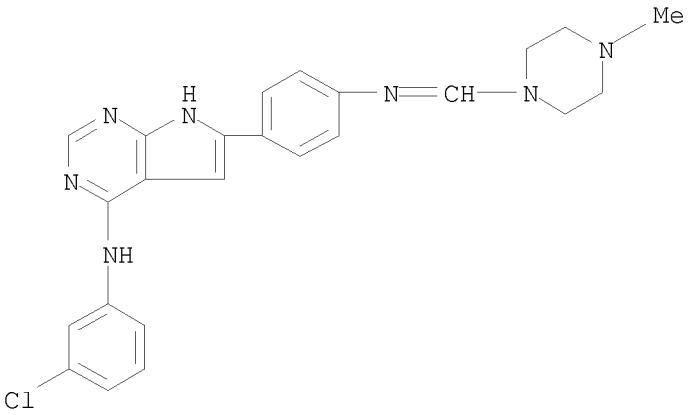


I

AB The title compds. [I; n = 0-3; q = 0-1; R = halo, lower alkyl, HOCH2, etc.; one of the radicals R1 and R2 = H, lower alkyl, and the other of the radicals R1 and R2 = (un)substituted Ph, amino-lower alkyl, piperidine-1-carbonyl, etc.], inhibitors of the tyrosine kinase activity of the receptor for the epidermal growth factor (EGF) and c-erbB2kinase and therefore useful as antitumor agents, were prepared and formulated. Thus, hydrogenation of 4-(3-chloroanilino)-6-formyl-7H-pyrrolo[2,3-d]pyrimidine (preparation described) with N-methylpiperazine in the presence of Raney Ni in DMPU, AcOH and MeOH afforded I [R = 3-Cl; R1 = H; R2 = 4-methylpiperazin-1-ylmethyl; q = 0]. Compds. I inhibit EGF-R-PTK activity by 50% (IC50), for example in a concentration of 0.0005-1 μM, especially from 0.001-1 μM. Compds. I are effective at 0.5-2 g/day when administered to a patient of a body weight of about 70 kg.

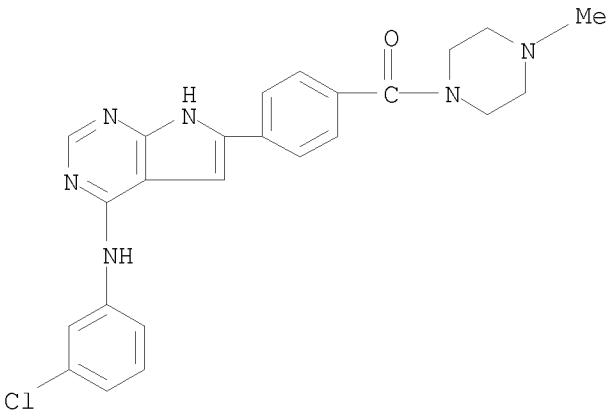
IT 203724-06-5P 203724-13-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted pyrrolopyrimidines as antitumor agents)

RN 203724-06-5 CAPLUS
CN 7H-Pyrrolo[2,3-d]pyrimidin-4-amine, N-(3-chlorophenyl)-6-[4-[[(4-methyl-1-piperazinyl)methylene]amino]phenyl]- (CA INDEX NAME)



RN 203724-13-4 CAPLUS

CN Methanone, [4-[4-[(3-chlorophenyl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]phenyl](4-methyl-1-piperazinyl)- (CA INDEX NAME)



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